

10/649,265

=> file caplus

FILE 'CAPLUS' ENTERED AT 11:03:09 ON 18 MAY 2005

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FILE COVERS 1907 - 18 May 2005 VOL 142 ISS 21

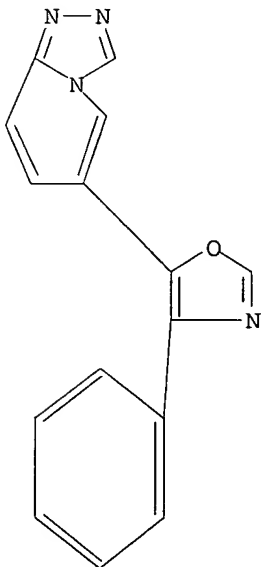
FILE LAST UPDATED: 17 May 2005 (20050517/ED)

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=> d que

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 54 SEA FILE=REGISTRY SSS FUL L1

L4 8 SEA FILE=CAPLUS L3

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L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:654777 CAPLUS

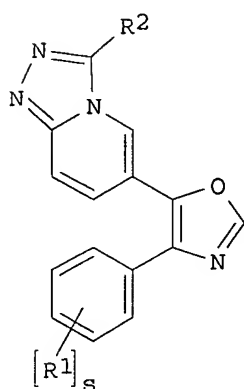
DN 141:190791

TI Preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase

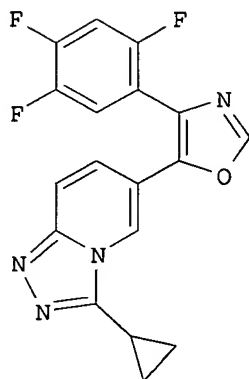
10/649,265

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.  
PA Pfizer Inc, USA  
SO U.S. Pat. Appl. Publ., 24 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004157877	A1	20040812	US 2003-649216	20030827
				US 2002-407086P	P 20020830
OS	MARPAT 141:190791				
GI					



I



II

AB The title compds. [I; R1 = F; s = 3; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-95-2P 668990-96-3P

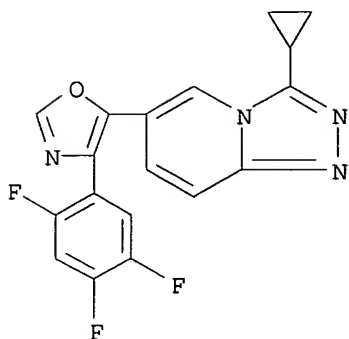
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-95-2 CAPLUS

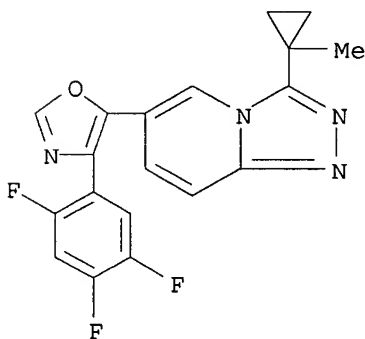
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



RN 668990-96-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:589283 CAPLUS

DN 141:140449

TI Preparation of novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine.

IN Kang, Ming; Li, Zheng Jane; Li, Zhongong Bryan; Tao, Yong

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004143119	A1	20040722	US 2003-649194	20030827
				US 2002-407158P	P 20020830

AB Crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (I) having specified x-ray crystallog., <sup>13</sup>C solid state NMR, and differential scanning calorimetry data were prepared. Thus, N- $\alpha$ -tosyl-(2,5-difluorobenzyl)isocyanide (preparation given), 3-isopropyl-1,2,4-triazolo[4,3-a]pyridine-6-carboxaldehyde (preparation given), and K<sub>2</sub>CO<sub>3</sub> were refluxed together for 22 h in MeCN to give 61% I. This was triturated in EtOAc/hexane followed by drying in vacuo at 40° for 48 h to give I form A.

IT 668981-02-0P

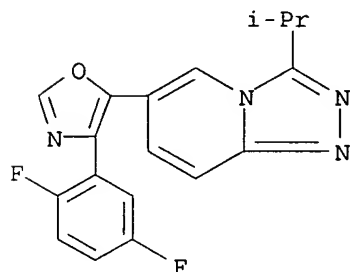
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

10/649,265

(preparation of novel crystalline forms of  
isopropylidifluorophenyloxazolyltriazol  
opyridine)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



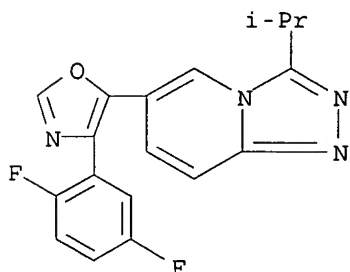
IT 668981-04-2P 668981-05-3P 668981-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel crystalline forms of  
isopropylidifluorophenyloxazolyltriazol  
opyridine)

RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 668981-05-3 CAPLUS

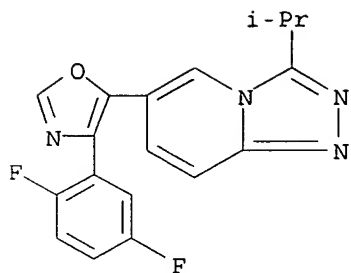
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

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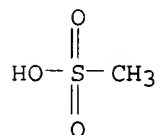
10/649,265



CM 2

CRN 75-75-2

CMF C H4 O3 S



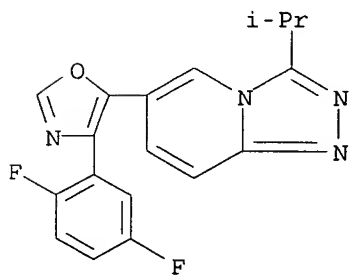
RN 668981-07-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

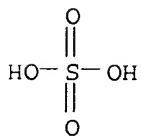
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CM 2

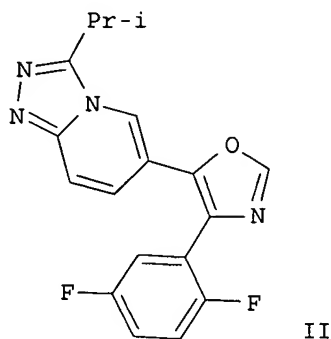
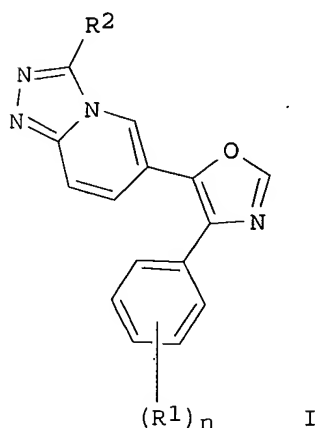
CRN 7664-93-9

CMF H2 O4 S



L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2004:392324 CAPLUS  
 DN 140:406810  
 TI Preparation of alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as  
 MAP kinases, in particular p38 kinase inhibitors  
 IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.  
 PA Pfizer Inc, USA  
 SO U.S. Pat. Appl. Publ., 31 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004092547	A1	20040513	US 2003-649227 US 2002-407088P	20030827 P 20020830
OS	MARPAT 140:406810				
GI					



AB Title compds. I [wherein R1 = F; n = 2; R2 = alkyl, optionally substituted by halo, OH, alkoxy, and alkoxy carbonyl; with certain compds. absent; their pharmaceutically acceptable salts] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase. For example, II was prepared by Pd-cross coupling of 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]-triazolo[4,3-a]pyridine (preparation given) with 2,5-difluoroboronic acid in the presence of TEA/EtOH/H<sub>2</sub>O. Selected I had an IC<sub>50</sub> <10 μM in the TNF-α and MAPKAP in vitro assays, and an EC<sub>50</sub> <50 mg/kg in the in vivo TNFα assay. I are useful for treating inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

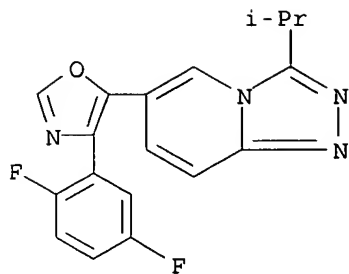
IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(p38 kinase inhibitor; preparation of alkyl difluorophenyloxazolyl triazolopyridines as MAP kinases, in particular p38 kinase inhibitors)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

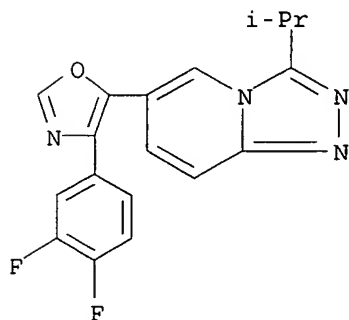


IT **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazolo[4,3-a]pyridine **668981-03-1P**,  
 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-  
 a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-  
 isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride  
**668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**,  
 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-  
 a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-  
 Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine  
 sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-  
 difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
**668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-  
 [1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**,  
 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-  
 a]pyridine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(p38 kinase inhibitor; preparation of alkyl difluorophenyloxazolyl triazolopyr-  
 idines as MAP kinases, in particular p38 kinase inhibitors)

RN 459448-00-1 CAPLUS

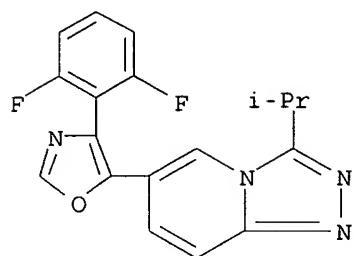
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-  
 methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-03-1 CAPLUS

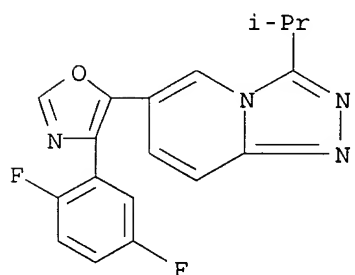
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-  
 methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

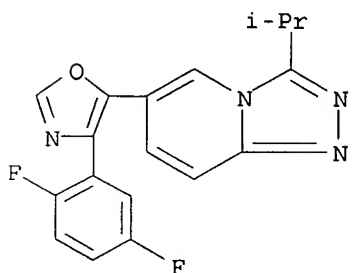
RN 668981-05-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O



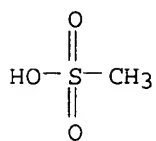
CM 2

CRN 75-75-2

CMF C H4 O3 S



10/649,265



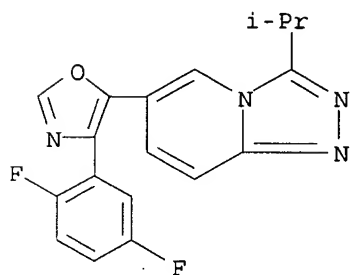
RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

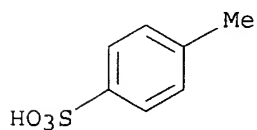
CMF C18 H14 F2 N4 O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 668981-07-5 CAPLUS

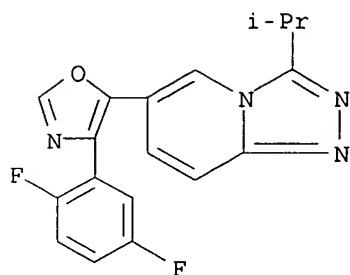
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

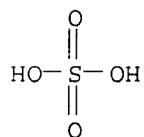
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CM 2

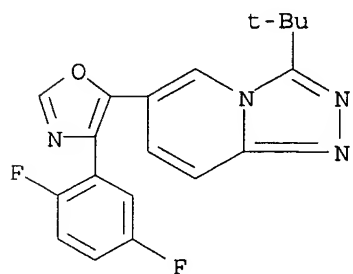
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CMF H2 O4 S



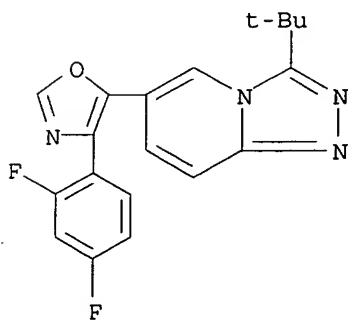
RN 668990-77-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 CAPLUS

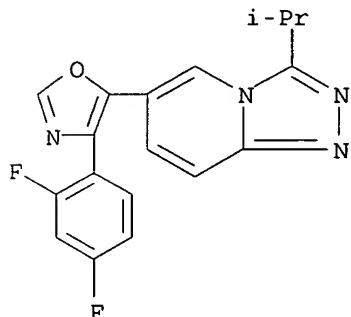
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



10/649,265

RN 668990-97-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:372880 CAPLUS

DN 140:391284

TI Preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

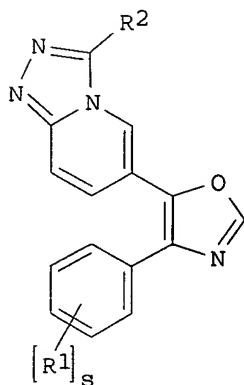
LA English

FAN.CNT 1

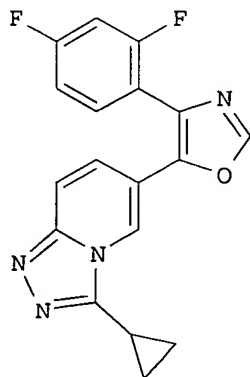
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PI	US 2004087615	A1	20040506	US 2003-649255	20030827
				US 2002-407489P	P 20020830

OS MARPAT 140:391284

GI



I

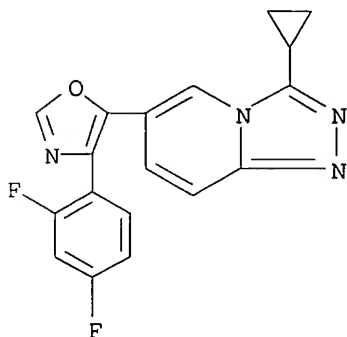


II

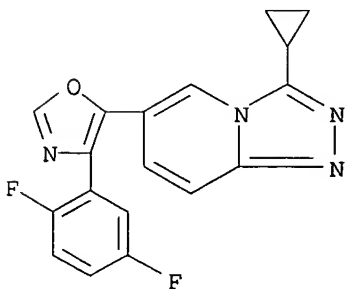
AB The title compds. [I; R1 = F; s = 2; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given.

The pharmaceutical composition comprising the compound I is claimed.

IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)  
 RN 668990-79-2 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

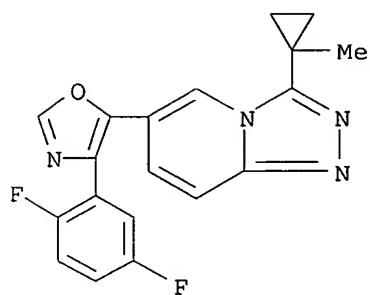


IT **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)  
 RN 668990-83-8 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



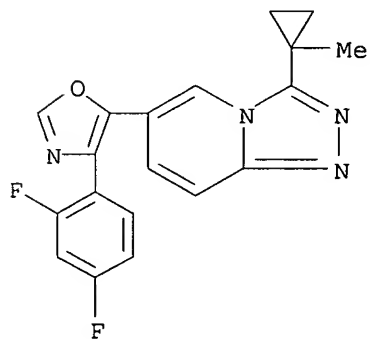
RN 668990-84-9 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

10/649,265



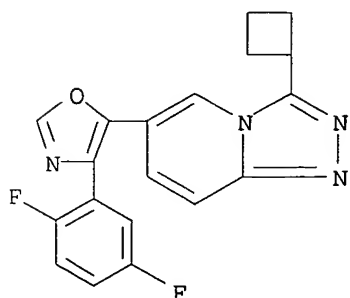
RN 668990-85-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-86-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:331789 CAPLUS

DN 140:357352

TI Preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

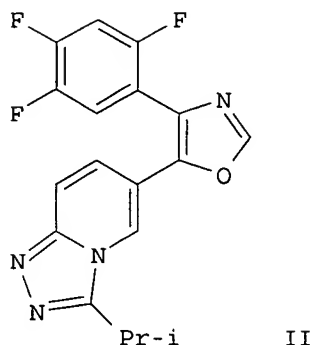
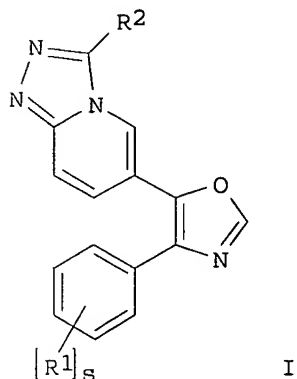
DT Patent

LA English

FAN.CNT 1

10/649,265

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004077682	A1	20040422	US 2003-649265	20030827
				US 2002-407089P	P 20020830
OS	MARPAT 140:357352				
GI					



AB The title compds. [I; R1 = F; s = 3; R2 = alkyl optionally substituted by halo, OH, alkoxy, etc.] which are potent inhibitors of MAP kinases, preferably p38 kinase, were prepared Thus, reacting [ $\alpha$ -(p-toluenesulfonyl)-2,4,5-trifluorobenzyl]isonitrile with 3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine-6-carboxaldehyde (prepn. given) in the presence of K2CO3 in MeCN at 70°C for 22 h afforded 48% II. All compds. I that were tested had an IC50 of <10  $\mu$ M in the TNF $\alpha$  and MAPKAP in vitro assays and ED50 of <50 mg/kg in the in vivo TNF $\alpha$  assay. The compds. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-87-2P 668990-90-7P 668990-91-8P

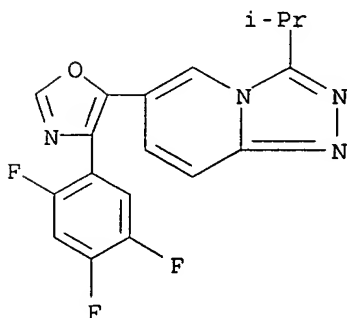
668990-92-9P 668990-93-0P 668990-94-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)

RN 668990-87-2 CAPLUS

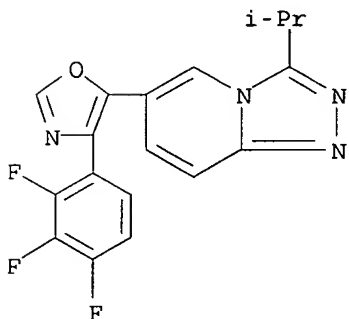
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



10/649,265

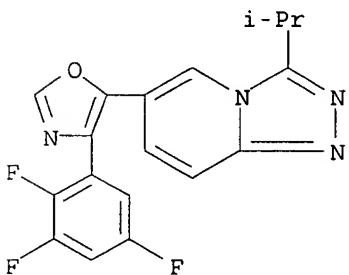
RN 668990-90-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



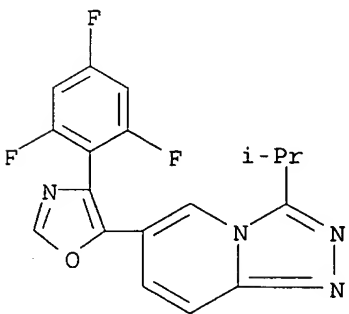
RN 668990-91-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-92-9 CAPLUS

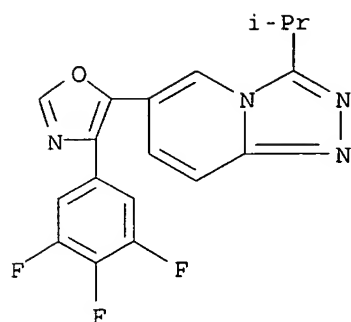
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-93-0 CAPLUS

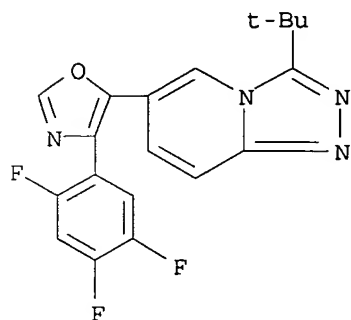
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



RN 668990-94-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:203834 CAPLUS

DN 140:235722

TI Preparation of 6-[4-(di- or trifluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine as inhibitors of mitogen-activated protein (MAP) kinases

IN Dombroski, Mark Anthony; Letavic, Michael Anthony; McClure, Kim Francis

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 87 pp.

CODEN: PIXXD2

DT Patent

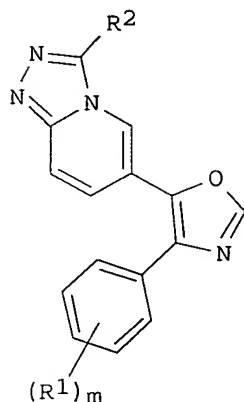
LA English

FAN.CNT 1

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PI	WO 2004020440	A1	20040311	WO 2003-IB3847	20030819
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	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2002-407177P	P 20020830
	US 2004053958	A1	20040318	US 2003-649236	20030827
				US 2002-407177P	P 20020830



OS MARPAT 140:235722  
GI



I

AB The present invention relates to novel triazolo-pyridines of the formula (I) [wherein R1 is fluoro; m = 2,3; R2 is C3-6 cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-4 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; or R2 is C1-6 alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-6 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-CO-O; with the proviso that said compound of this formula cannot be 6-[4-(2,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine or 6-[4-(3,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine] or pharmaceutically acceptable salt thereof; to intermediates for their preparation, and to pharmaceutical compns. containing them and to their medicinal

use. The compds. I are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, a mixture of  $\alpha$ -(p-toluenesulfonyl)-2,6-difluorobenzyl]isonitrile (1.79 g, 5.84 mmol), 3-isopropyl-[1,2,4]triazolo[4,3-a]-6-pyridinecarboxaldehyde > (1.10 g, 5.84 mmol), potassium carbonate (1.05 g, 7.59 mmol) and acetonitrile (17.5 mL) was refluxed for 22 h to give, after workup and silica gel chromatog., 6-[4-(2,6-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine as a yellow solid. A tablet formulation containing 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine was prepared, which can be administered to a human from one to four times a day for inhibiting cartilage damage or treating osteoarthritis.

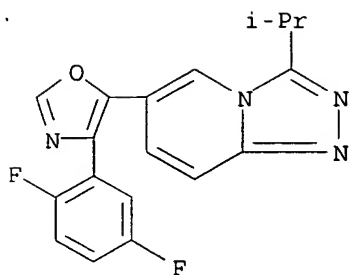
IT 668981-02-0P

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

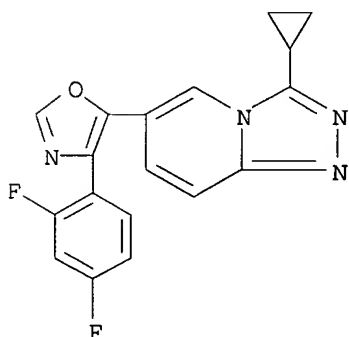
(X-ray crystallog. data and polymorphism; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)  
 RN 668990-79-2 CAPLUS  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-87-2P**, 3-Isopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-90-7P**, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-91-8P**,

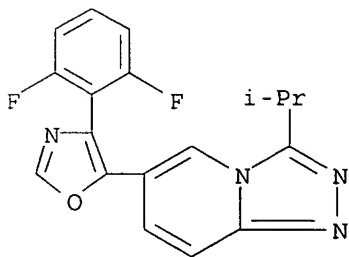
3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-92-9P**, 3-Isopropyl-6-[4-(2,4,6-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-93-0P**, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-94-1P**, 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-95-2P**, 3-Cyclopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-96-3P**, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

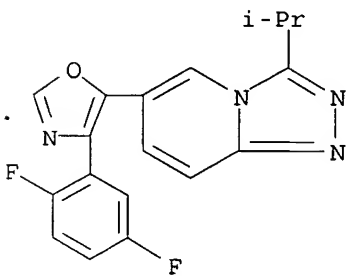
RN 668981-03-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 668981-05-3 CAPLUS

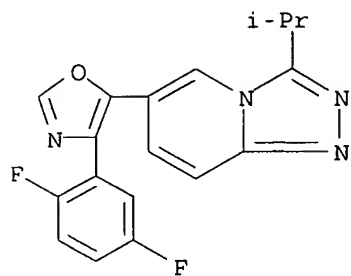
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

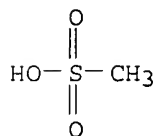
10/649,265



CM 2

CRN 75-75-2

CMF C H4 O3 S



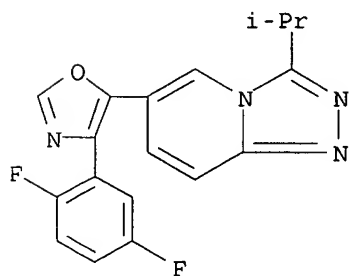
RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

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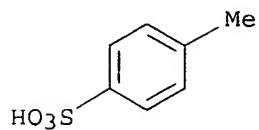


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CRN 104-15-4

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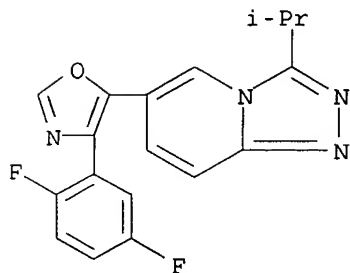
10/649,265



RN 668981-07-5 CAPLUS  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

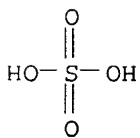
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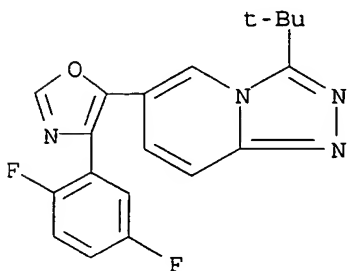


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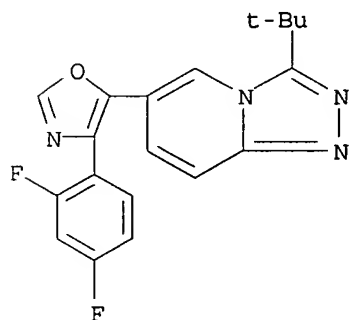
RN 668990-77-0 CAPLUS  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 CAPLUS

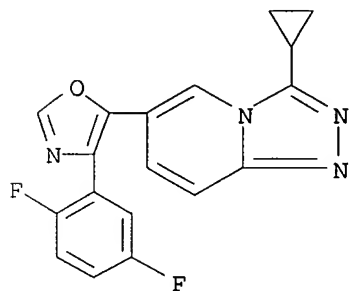
10/649,265

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



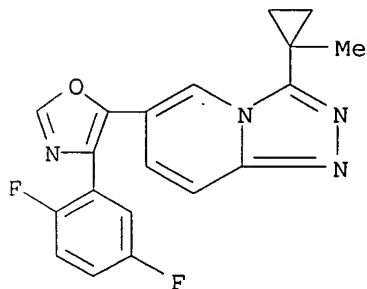
RN 668990-83-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-84-9 CAPLUS

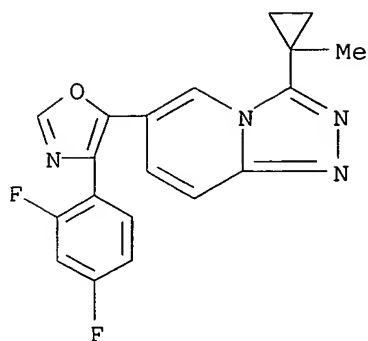
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-85-0 CAPLUS

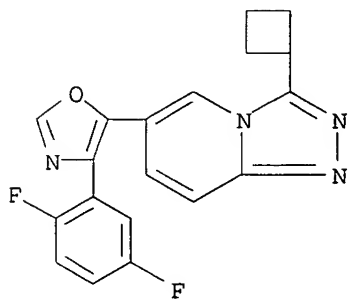
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

10/649,265



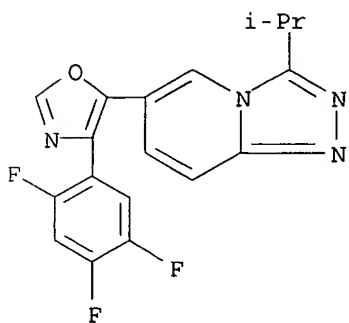
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CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-87-2 CAPLUS

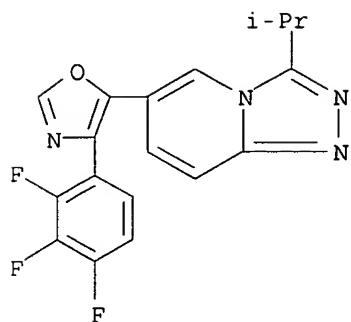
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-90-7 CAPLUS

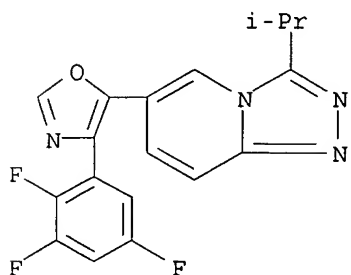
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



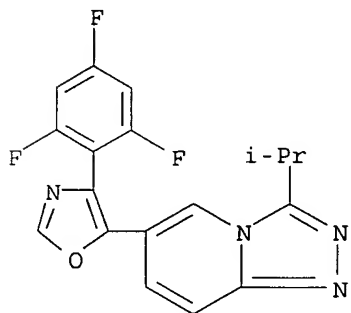
RN 668990-91-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-92-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

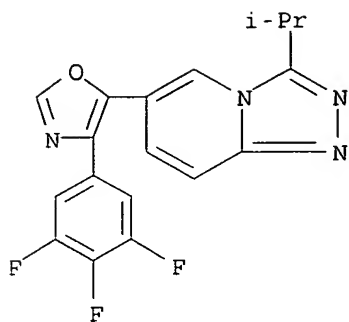


RN 668990-93-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

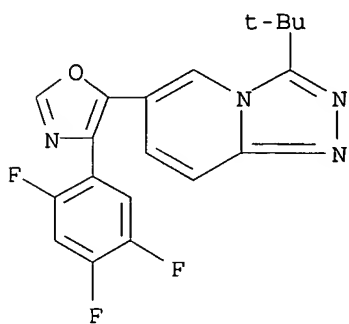


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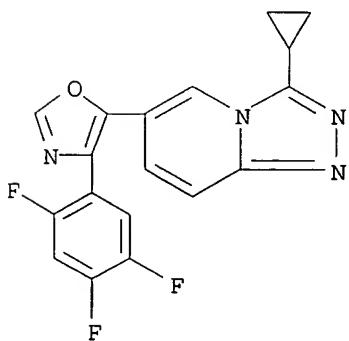
RN 668990-94-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-95-2 CAPLUS

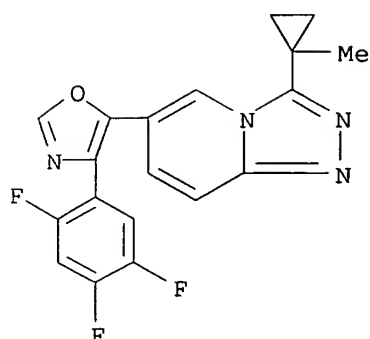
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-96-3 CAPLUS

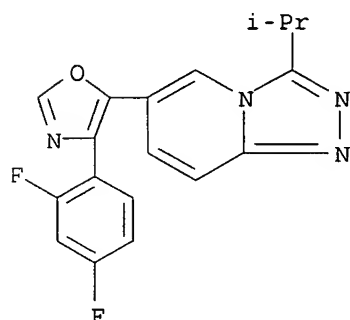
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



RN 668990-97-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:203832 CAPLUS

DN 140:235721

TI Novel processes and intermediates for preparing [1,2,4]triazolo[4,3-a]pyridines

IN Buzon, Richard Allen Sr.; Castaldi, Michael James; Li, Zhengong Bryan; Ripin, David Harold Brown; Tao, Yong

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

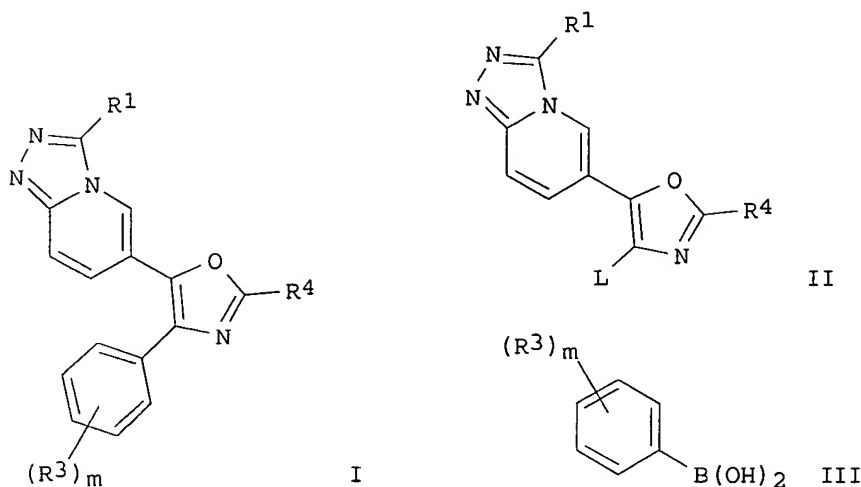
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PI	WO 2004020438	A2	20040311	WO 2003-IB3669	20030818
	WO 2004020438	A3	20040722		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,				

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

			US 2002-407085P	P	20020830
US 2004053959	A1	20040318	US 2003-649247		20030827
			US 2002-407085P	P	20020830

OS CASREACT 140:235721; MARPAT 140:235721

GI



AB The present invention relates and intermediates to a novel process for preparing triazolo-pyridines of the formula (I) [ $R^1$  = H, cyano, each (un)substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl or  $NH_2$ ;  $R^3$  = halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, perhalo-C1-6 alkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl, C3-10 cycloalkyl, HO, C1-6 alkoxy, perhalo-C1-10 alkoxy, PhO, C1-10 heteroaryloxy, C1-10 heterocyclyloxy-C3-10 cycloalkyloxy, C1-6 alkylthio, C1-16 alkylsulfonyl, C1-6 alkylsulfamoyl, amino, mono - or di(C1-6 alkyl)amino, C1-6 sulfonylamino, C1-6 alkyl-carbonylamino, etc.; or two adjacent  $R^2$  taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring;  $m$  = an integer from 0-5;  $R^4$  = H, F, Cl,  $R^5-B-(CH_2)_n-$ ;  $n$  = n integer from 0-6; B = a bond, (CHR<sub>6</sub>), O, S, SO<sub>2</sub>, CO, O-CO, CO-O, CO-NR<sub>6</sub>, R<sub>6</sub>N, R<sub>6</sub>NSO<sub>2</sub>, R<sub>6</sub>NCO, SO<sub>2</sub>NR<sub>6</sub>, R<sub>6</sub>NCONR<sub>7</sub>, O-CONR<sub>6</sub> or R<sub>6</sub>NCO-O;  $R^5$  = H, CF<sub>3</sub>, cyano, each (un)substituted Ph, C1-10 heterocyclyl, C1-10 heteroaryl, or C3-10 cycloalkyl, etc.;  $R^6$  = H, C1-6 alkylsulfonyl, C1-6 alkyl] or acceptable salts thereof, e.g., comprising reacting 6-(oxazol-5-yl)[1,2,4]triazolo[4,3-a]pyridines (II) (L = a leaving group and  $R^1$  and  $R^4$  are as defined above) with phenylboronic acids (III) and a transition metal catalyst. The compds. I prepared by the methods of the present invention are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine (33.0 g, 0.107 mol), 2,5-difluorophenylboronic acid (25.34 g, 0.1605 mol), Pd(PPh<sub>3</sub>)<sub>4</sub> (12.36 g, 0.0107 mol), Et<sub>3</sub>N (22.37 mL, 0.1605 mol), 2B ethanol (495 mL), and water (33 mL), were added to a 2 L 4 neck round bottom flask (equipped with mech. stirring, nitrogen, heating mantle, temperature controller, and a condenser), stirred while heating to 65 to 70°, and kept stirring overnight at apprx.70°. Two addnl. difluorophenylboronic acid (8.5 g, 0.054 mol) and Et<sub>3</sub>N (7.53 mL, 0.054

mol), were added and each time the reaction was allowed to proceed overnight at 70°. Toluene (30 mL) was added and the reaction was allowed to go overnight once again at 70°, treated with H<sub>2</sub>O (495 mL), and pot-granulated for 4 h at 20 to 25°. The solids were collected by vacuum filtration, washed with 2B ethanol/H<sub>2</sub>O (50:50) (25 mL of each), and dried in a vacuum oven at 45° for 4 ho under full vacuum to afford 14.4 g 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (40.6% yield, 93.4% purity by HPLC).

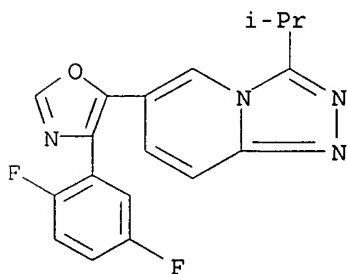
IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of  $\alpha$ -tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate

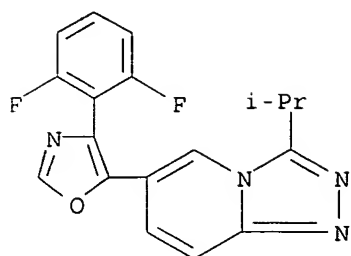
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of  $\alpha$ -tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-03-1 CAPLUS

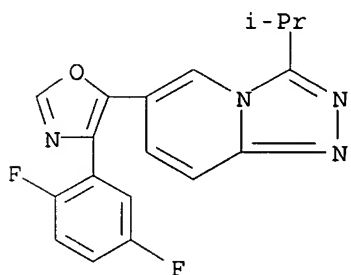
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

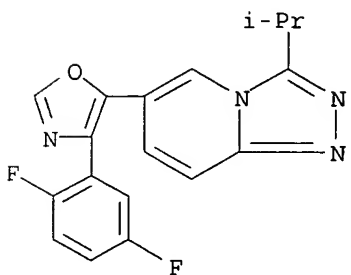
RN 668981-05-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

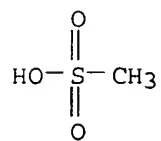


CM 2

CRN 75-75-2

CMF C H4 O3 S

10/649,265



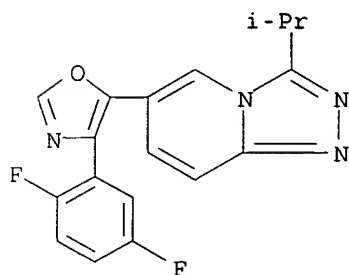
RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

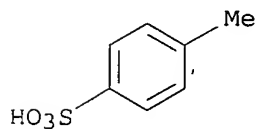
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CM 2

CRN 104-15-4

CMF C7 H8 O3 S



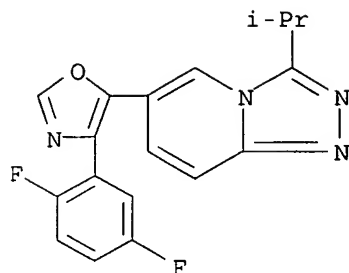
RN 668981-07-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

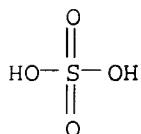
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CM 2

CRN 7664-93-9

CMF H2 O4 S

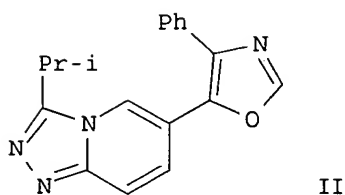
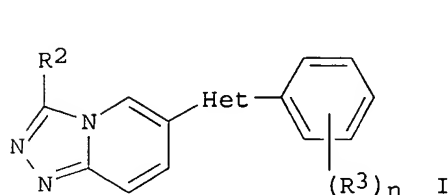


L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:716275 CAPLUS  
 DN 137:232658  
 TI Preparation of 6-(phenylheterocyclyl)-[1,2,4]triazolo[4,3-a]pyridines as  
 anti-inflammatory agents  
 IN Dombroski, Mark Anthony; Duplantier, Allen Jacob; Laird, Ellen Ruth;  
 Letavic, Michael Anthony; McClure, Kim Francis  
 PA Pfizer Products Inc., USA  
 SO PCT Int. Appl., 111 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002072579	A1	20020919	WO 2002-IB424	20020208
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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			CA 2002-2440222	20020208
			US 2001-274840P	P 20010309
			WO 2002-IB424	W 20020208
EP 1370559	A1	20031217	EP 2002-710260	20020208
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
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EE 200300437	A	20040216	WO 2002-IB424	W	20020208
			EE 2003-437		20020208
			US 2001-274840P	P	20010309
BR 2002007990	A	20040427	WO 2002-IB424	W	20020208
			BR 2002-7990		20020208
			US 2001-274840P	P	20010309
JP 2004522799	T2	20040729	WO 2002-IB424	W	20020208
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			US 2001-274840P	P	20010309
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US 6696464	B2	20040224	WO 2002-IB424	W	20020208
			US 2002-94760		20020311
ZA 2003004983	A	20040629	US 2001-274840P	P	20010309
			ZA 2003-4983		20030626
BG 108133	A	20040930	US 2001-274840P	P	20010309
			BG 2003-108133		20030825
			US 2001-274840P	P	20010309
NO 2003003969	A	20031013	WO 2002-IB424	W	20020208
			NO 2003-3969		20030908
			US 2001-274840P	P	20010309
			WO 2002-IB424	W	20020208

OS MARPAT 137:232658  
GI



AB Title compds. I [wherein Het = (un)substituted pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, or isothiazolyl; R<sub>2</sub> = H, alkenyl, alkynyl, or (un)substituted (cyclo)alkyl, Ph, heteroaryl, or heterocyclyl, or amino; R<sub>3</sub> = halo, (cyclo)alkyl(oxy), (perhalo)alkyl, alkenyl, alkynyl, Ph, heteroaryl(oxy), heterocyclyl(oxy), OH, (perhalo)alkoxy, PhO, alkylthio, alkylsulfonyl, alkylaminosulfonyl, NO<sub>2</sub>, (un)substituted amino, carbamoyl, etc.; n = 0-5; or pharmaceutically acceptable salts thereof] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase (no data). For example, 6-chloronicotinic acid was condensed with N,O-dimethylhydroxylamine•HCl (96%). Treatment of the amide with (i-Bu)<sub>2</sub>AlH gave the aldehyde (24%), which was coupled with (phenyl)(p-tolylsulfonyl)methylisocyanide to afford 2-chloro-5-(4-phenyloxazol-5-yl)pyridine (71%). Conversion to the hydrazine (100%), followed by coupling with isobutyryl chloride and cyclization using POCl<sub>3</sub> (32%), produced II. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases, and other disorders (no data).

IT 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-64-4P, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-66-6P, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-67-7P, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-



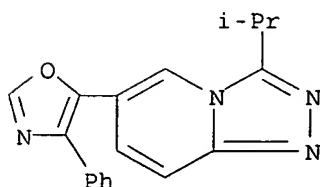
a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**,  
 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**,  
 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**,  
 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**,  
 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**,  
 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-80-4P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**,  
 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**,  
 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**,  
 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-89-3P**, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**,  
 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P** **459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**,  
 [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**,  
 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

RN 459447-61-1 CAPLUS

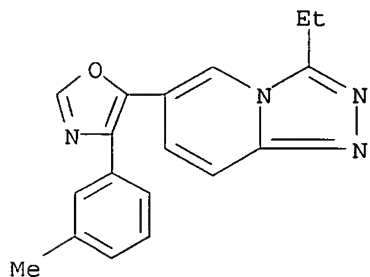
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)



10/649,265

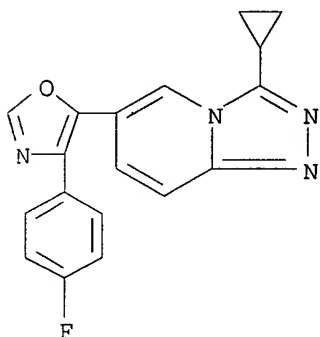
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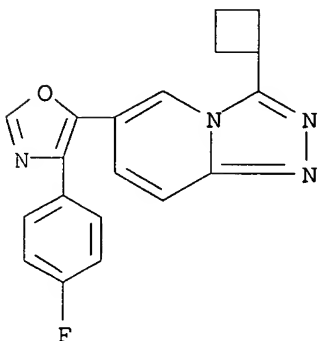
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CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)



RN 459447-67-7 CAPLUS

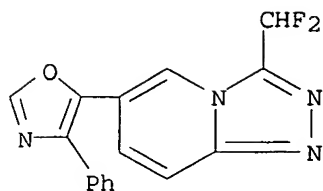
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)



RN 459447-69-9 CAPLUS

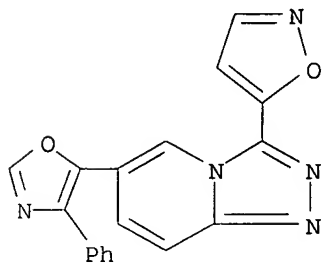
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

10/649,265



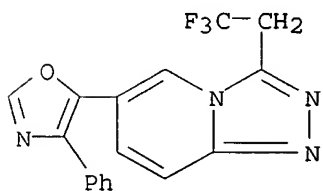
RN 459447-71-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)-  
(9CI) (CA INDEX NAME)



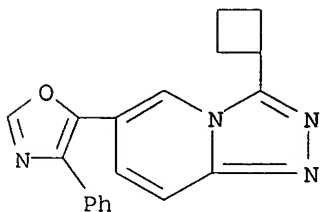
RN 459447-72-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-  
trifluoroethyl)- (9CI) (CA INDEX NAME)



RN 459447-73-5 CAPLUS

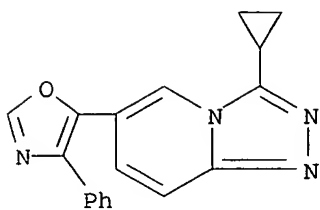
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI)  
(CA INDEX NAME)



RN 459447-74-6 CAPLUS

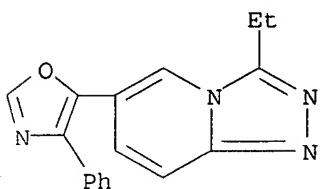
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)-  
(9CI) (CA INDEX NAME)

10/649,265



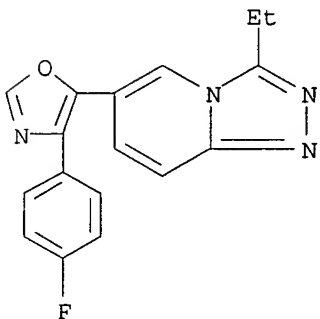
RN 459447-75-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



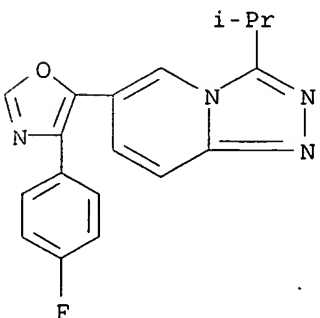
RN 459447-76-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-77-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

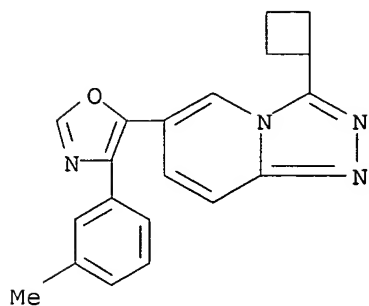


RN 459447-78-0 CAPLUS

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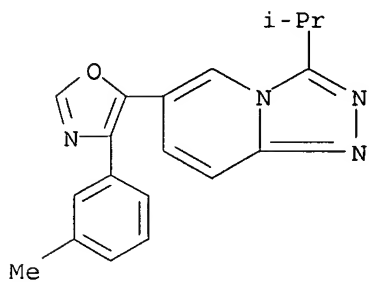
10/649,265

oxazolyl]- (9CI) (CA INDEX NAME)



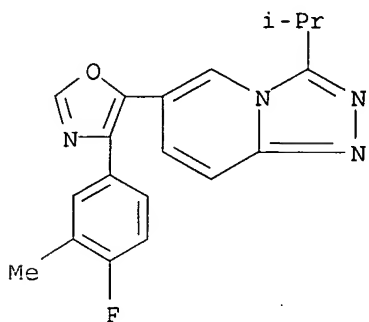
RN 459447-79-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-80-4 CAPLUS

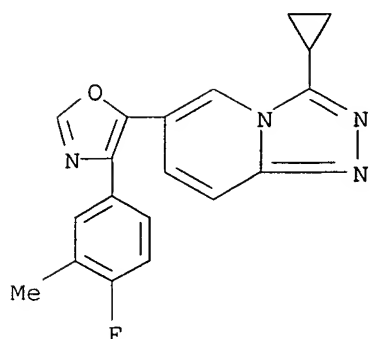
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-82-6 CAPLUS

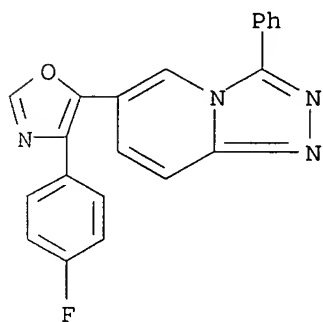
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



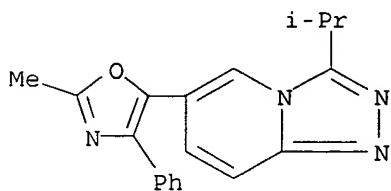
RN 459447-83-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



RN 459447-84-8 CAPLUS

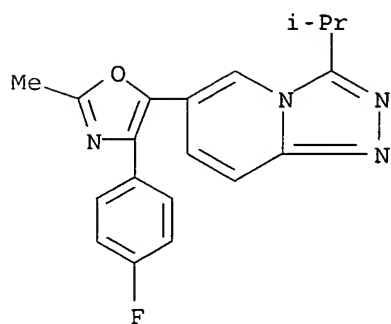
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)-  
(9CI) (CA INDEX NAME)



RN 459447-88-2 CAPLUS

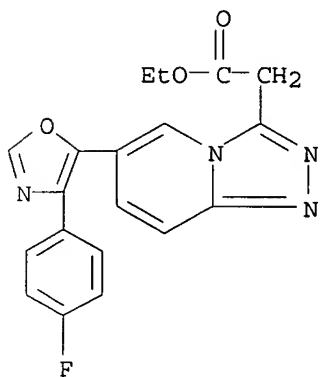
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-  
3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



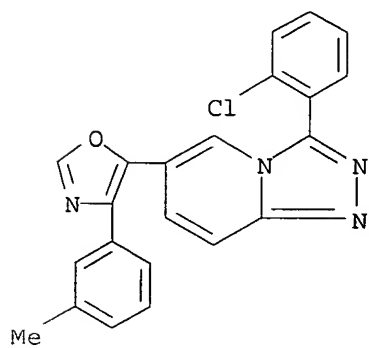
RN 459447-89-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 459447-90-6 CAPLUS

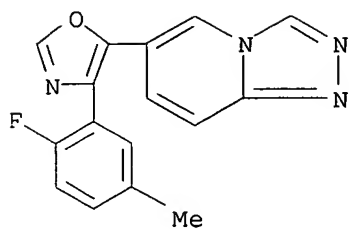
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-91-7 CAPLUS

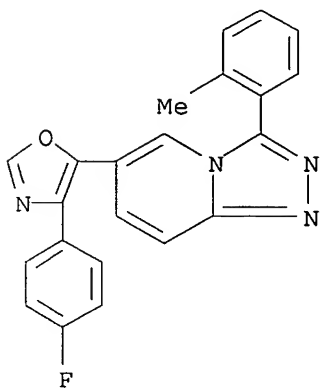
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



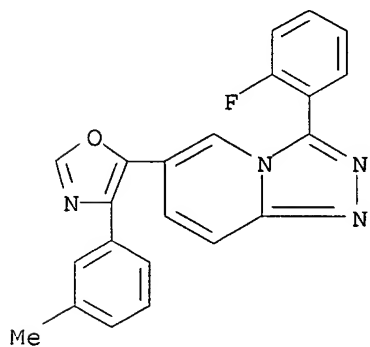
RN 459447-92-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 459447-93-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

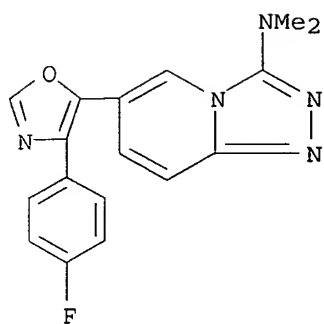


RN 459447-94-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

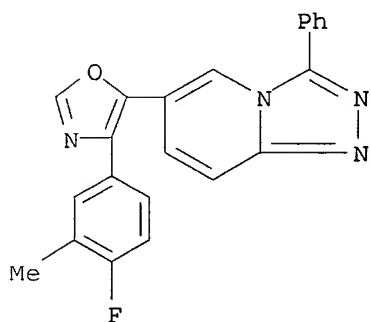


10/649,265



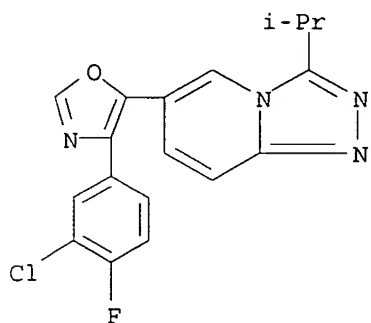
RN 459447-95-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459447-96-2 CAPLUS

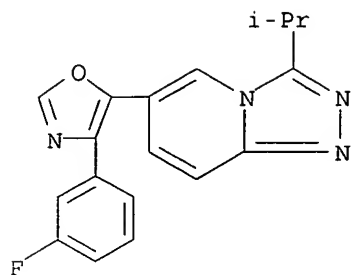
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-97-3 CAPLUS

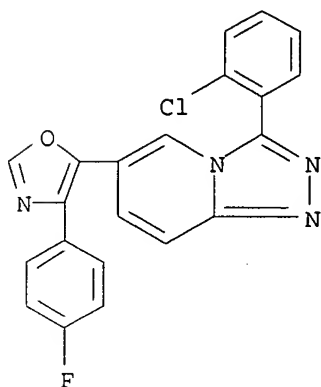
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



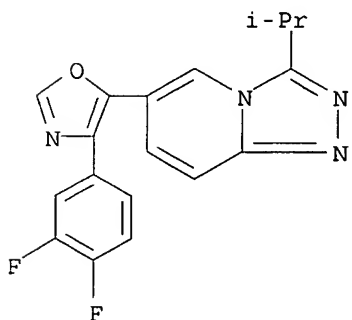
RN 459447-98-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459448-00-1 CAPLUS

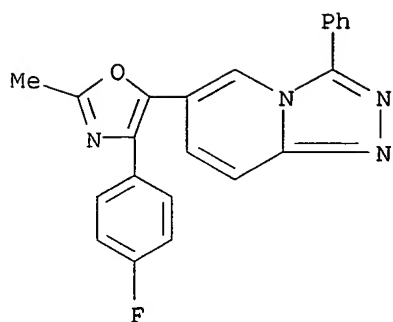
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 CAPLUS

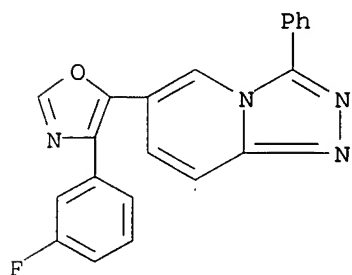
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

10/649,265



RN 459448-02-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall

FILE 'USPATFULL' ENTERED AT 11:04:12 ON 18 MAY 2005

CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

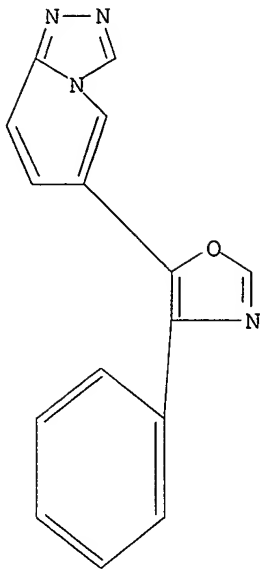
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CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que

L1 STR

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Structure attributes must be viewed using STN Express query preparation.

L3 54 SEA FILE=REGISTRY SSS FUL L1

L5 9 SEA L3

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L5 ANSWER 1 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:203985 USPATFULL

TITLE: Cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004157877	A1	20040812
APPLICATION INFO.:	US 2003-649216	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407086P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	

NUMBER OF CLAIMS: 16

EXEMPLARY CLAIM: 1

LINE COUNT: 1915

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion

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or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

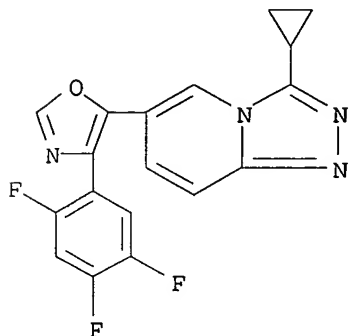
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-95-2P 668990-96-3P

(preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

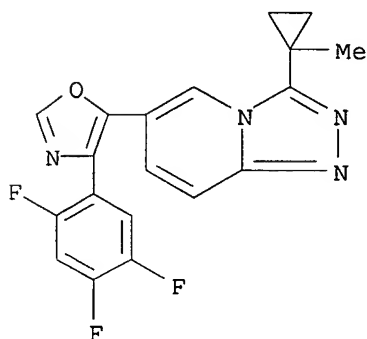
RN 668990-95-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-96-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 2 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:185233 USPATFULL

TITLE: Novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-A]pyridine

INVENTOR(S): Kang, Ming, Salem, CT, UNITED STATES  
Li, Zheng Jane, Quaker Hill, CT, UNITED STATES  
Li, Zhengong Bryan, East Lyme, CT, UNITED STATES  
Tao, Yong, Salem, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004143119	A1	20040722
APPLICATION INFO.:	US 2003-649194	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407158P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	22	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	16 Drawing Page(s)	
LINE COUNT:	1576	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine to pharmaceutical compositions containing such crystal forms and to methods of treatment. 3-Isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine is a potent inhibitor of MAP kinases, preferably p38 kinase (MAPK14/CSBP/RK kinase). 3-Isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine is useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

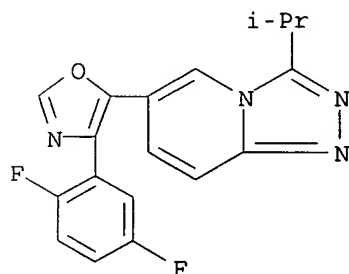
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P

(preparation of novel crystalline forms of  
isopropyldifluorophenyloxazolyltriazol  
opyridine)

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



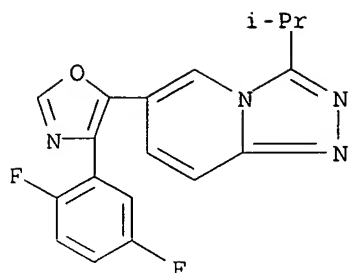
IT 668981-04-2P 668981-05-3P 668981-07-5P

(preparation of novel crystalline forms of  
isopropyldifluorophenyloxazolyltriazol  
opyridine)

RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

10/649,265



● HCl

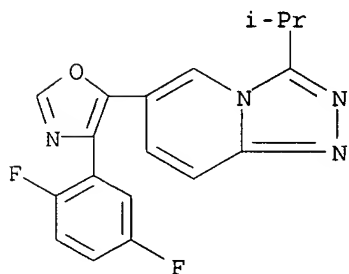
RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

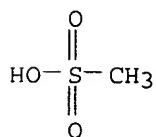
CMF C18 H14 F2 N4 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



RN 668981-07-5 USPATFULL

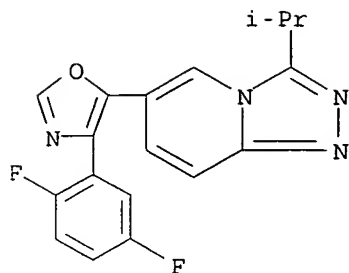
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

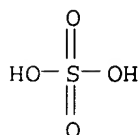
10/649,265



CM 2

CRN 7664-93-9

CMF H2 04 S



L5 ANSWER 3 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:121131 USPATFULL

TITLE: Alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES  
Letavic, Michael A., Mystic, CT, UNITED STATES  
McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004092547	A1	20040513
APPLICATION INFO.:	US 2003-649227	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407088P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	15	
EXEMPLARY CLAIM:	1	
LINE COUNT:	2480	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

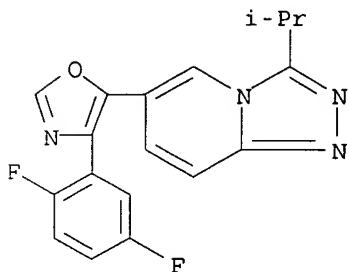
AB The present invention relates to novel alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.



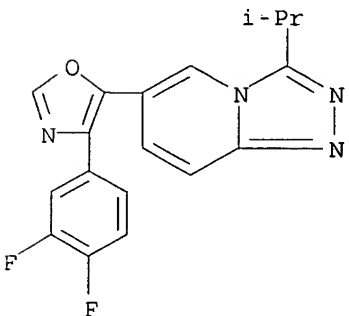
10/649,265

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine  
(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyridines as MAP kinases, in particular p38 kinase inhibitors)  
RN 668981-02-0 USPTAFULL  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

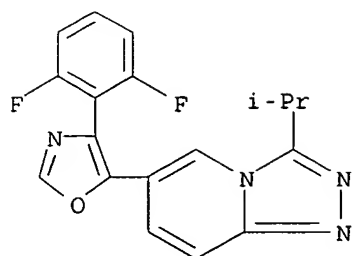


IT **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-03-1P**,  
6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride  
**668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**,  
6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**,  
6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
**668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-97-4P**,  
3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyridines as MAP kinases, in particular p38 kinase inhibitors)  
RN 459448-00-1 USPTAFULL  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



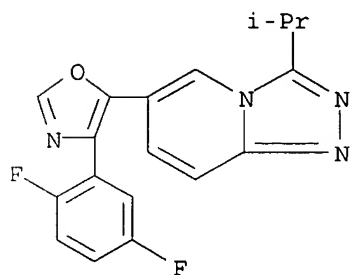
RN 668981-03-1 USPTAFULL  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

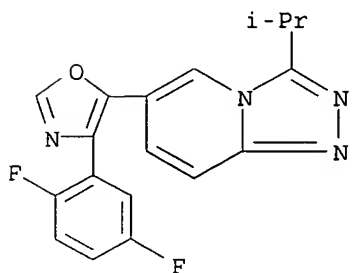
RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

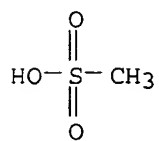


CM 2

CRN 75-75-2

CMF C H4 O3 S

10/649,265



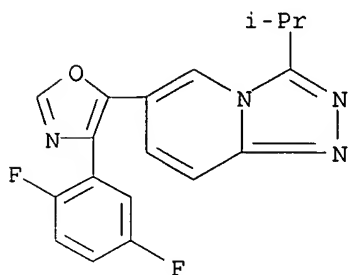
RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

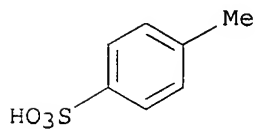
CMF C18 H14 F2 N4 O



CM 2

CRN 104-15-4

CMF C7 H8 O3 S



RN 668981-07-5 USPATFULL

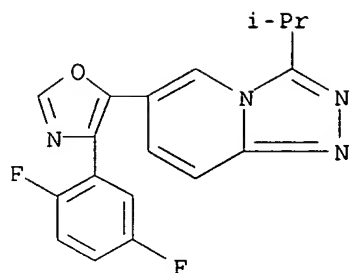
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O

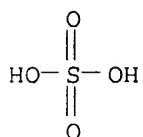
10/649,265



CM 2

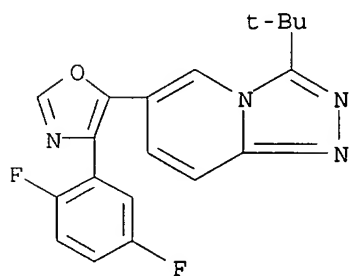
CRN 7664-93-9

CMF H2 O4 S



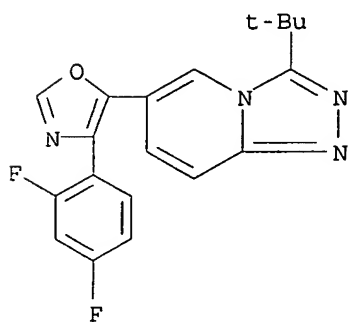
RN 668990-77-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 USPATFULL

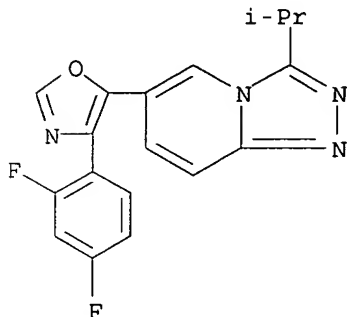
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



10/649,265

RN 668990-97-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:114769 USPATFULL

TITLE: Cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004087615	A1	20040506
APPLICATION INFO.:	US 2003-649255	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407489P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1952	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

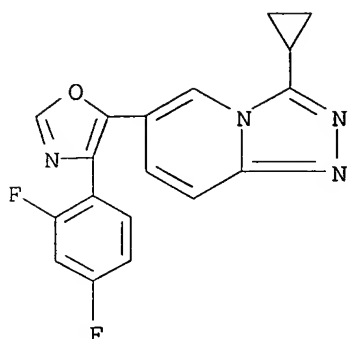
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-79-2P, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine

(preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-79-2 USPATFULL

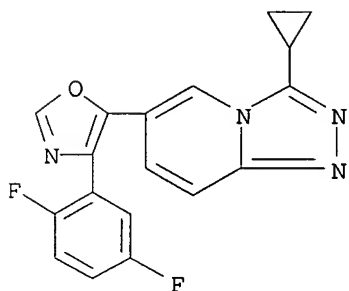
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



IT 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 668990-84-9P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-85-0P, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-86-1P, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine (preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

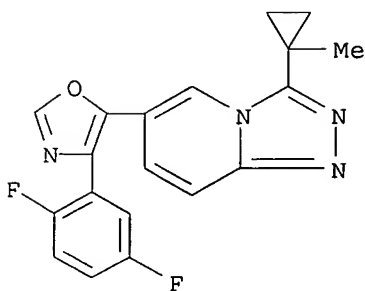
RN 668990-83-8 USPTFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-84-9 USPTFULL

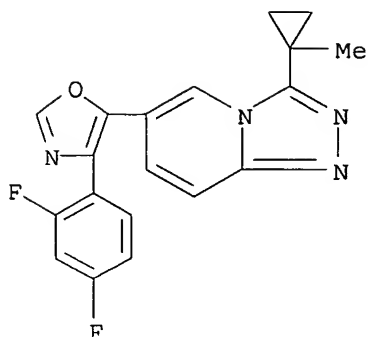
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-85-0 USPTFULL

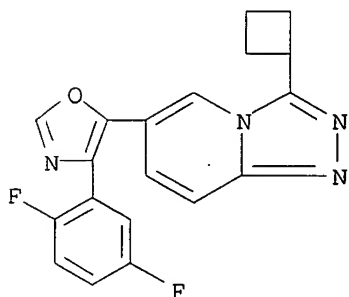
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

10/649,265



RN 668990-86-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:101812 USPATFULL

TITLE: Alkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES  
Letavic, Michael A., Mystic, CT, UNITED STATES  
McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004077682	A1	20040422
APPLICATION INFO.:	US 2003-649265	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2002-407089P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	16	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1942	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel alkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines, to intermediates and methods for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent

inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-87-2P 668990-90-7P 668990-91-8P

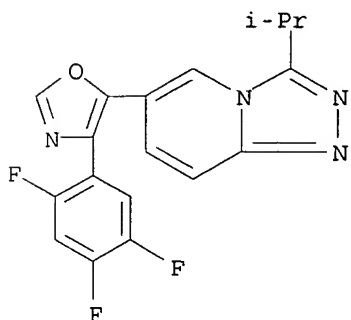
668990-92-9P 668990-93-0P 668990-94-1P

(preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl]-

[1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)

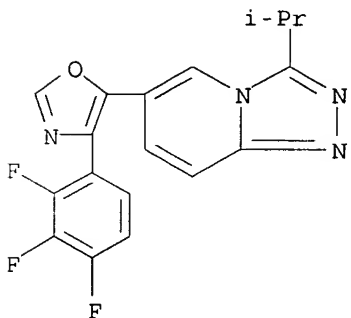
RN 668990-87-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



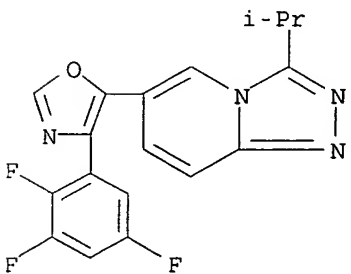
RN 668990-90-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-91-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

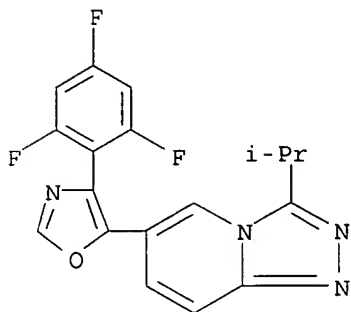




10/649,265

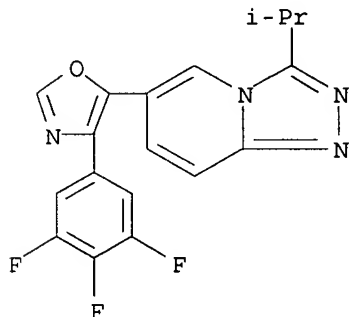
RN 668990-92-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



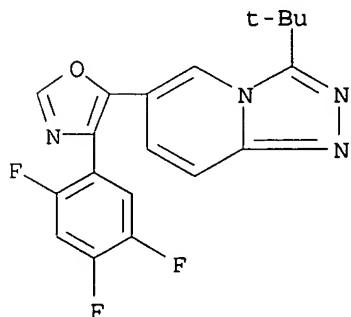
RN 668990-93-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-94-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:70731 USPATFULL

TITLE: Novel processes and intermediates for preparing triazolo-pyridines

INVENTOR(S): Buzon, Richard A., SR., Stonington, CT, UNITED STATES  
Castaldi, Michael J., Pawcatuck, CT, UNITED STATES

Li, Zhengong B., East Lyme, CT, UNITED STATES  
 Ripin, David H. B., Old Saybrook, CT, UNITED STATES  
 Tao, Yong, Salem, CT, UNITED STATES  
 PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004053959	A1	20040318
APPLICATION INFO.:	US 2003-649247	A1	20030827 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	WO 2000-WO40243	20000713
	WO 2000-WO63204	20001026
	WO 2000-WO31065	20000602
	WO 2000-WO6563	20000210
	WO 2000-WO41698	20000720
	US 2002-407085P	20020830 (60)

DOCUMENT TYPE: Utility  
 FILE SEGMENT: APPLICATION  
 LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,  
 NEW YORK, NY, 10017-5612  
 NUMBER OF CLAIMS: 48  
 EXEMPLARY CLAIM: 1  
 LINE COUNT: 3578

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates and intermediates to a novel process for  
 preparing triazolo-pyridines of the formula I ##STR1##

wherein R<sup>sup.1</sup> is selected from the group consisting of hydrogen,  
 (C<sub>sub.1</sub>-C<sub>sub.6</sub>)alkyl or other suitable substituents;

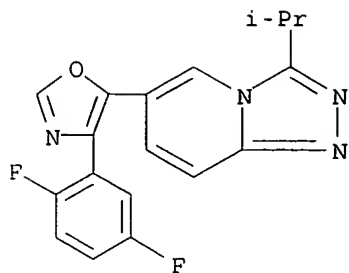
R<sup>sup.3</sup> is selected from the group consisting of hydrogen,  
 (C<sub>sub.1</sub>-C<sub>sub.6</sub>)alkyl or other suitable substituents;

s is an integer from 0-5;

R<sup>sup.4</sup> is hydrogen or a suitable substituent and to intermediates for  
 their preparation. The compounds prepared by the methods of the present  
 invention are potent inhibitors of MAP kinases, preferably p38 kinase.  
 They are useful in the treatment of inflammation, osteoarthritis,  
 rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart  
 attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

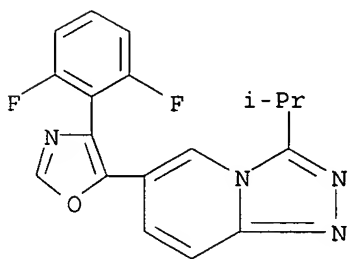
IT 668981-02-0P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazolo[4,3-a]pyridine  
 (preparation of triazolopyridines as p38 kinase inhibitors by Suzuki  
 coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine  
 derivative or cyclocondensation of  $\alpha$ -tosylbenzyl isonitrile with  
 triazolopyridinecarboxaldehyde)  
 RN 668981-02-0 USPATFULL  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-  
 methylethyl)- (9CI) (CA INDEX NAME)



IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate **668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate  
(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of  $\alpha$ -tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

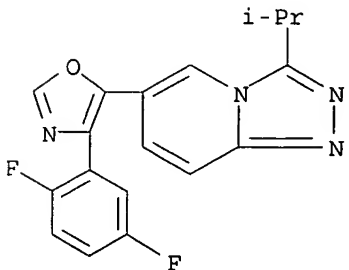
RN 668981-03-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



10/649,265

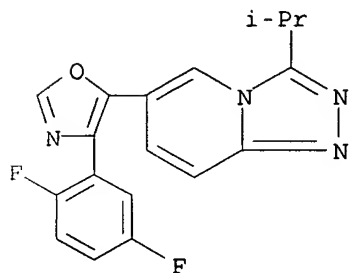
RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

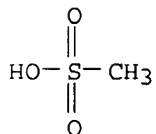
CMF C18 H14 F2 N4 O



CM 2

CRN 75-75-2

CMF C H4 O3 S



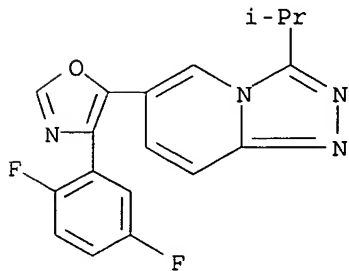
RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

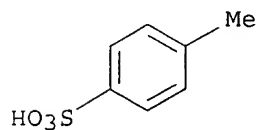
CMF C18 H14 F2 N4 O



CM 2

10/649,265

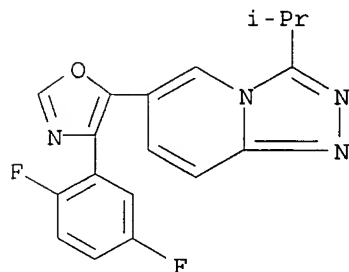
CRN 104-15-4  
CMF C7 H8 O3 S



RN 668981-07-5 USPATFULL  
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

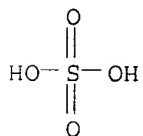
CM 1

CRN 668981-02-0  
CMF C18 H14 F2 N4 O



CM 2

CRN 7664-93-9  
CMF H2 O4 S



L5 ANSWER 7 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:70730 USPATFULL

TITLE: Di and trifluoro-triazolo-pyridines anti-inflammatory compounds

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES  
Letavic, Michael A., Mystic, CT, UNITED STATES  
McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004053958	A1	20040318
APPLICATION INFO.:	US 2003-649236	A1	20030827 (10)

	NUMBER	DATE
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PRIORITY INFORMATION:	US 2002-407177P	20020830 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	33	
EXEMPLARY CLAIM:	1	
LINE COUNT:	3038	
CAS INDEXING IS AVAILABLE FOR THIS PATENT.		
AB	The present invention relates to novel triazolo-pyridines of the formula I ##STR1##	

wherein R.sup.1 is fluoro;

s is an integer from two to three;

R.sup.2 is (C.sub.3-C.sub.6)cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.4)alkyl, hydroxy, (C.sub.1-C.sub.6)alkoxy and (C.sub.1-C.sub.6)alkyl-(C.dbd.O)--O--;

or R.sup.2 is (C.sub.1-C.sub.6)alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.6)alkyl, hydroxy, (C.sub.1-C.sub.6)alkoxy and (C.sub.1-C.sub.6)alkyl-(C.dbd.O)--O--;

with the proviso that said compound of formula I cannot be

6-[4-(2,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine; or

6-[4-(3,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

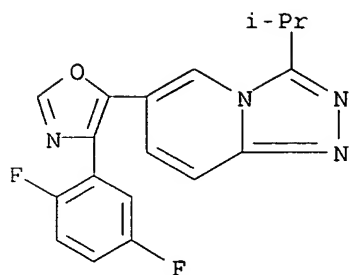
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P

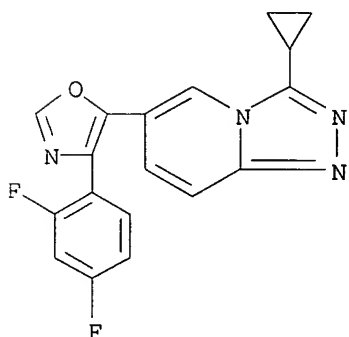
(X-ray crystallog. data and polymorphism; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



IT **668990-79-2P**, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-  
 [1,2,4]triazolo[4,3-a]pyridine  
 (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyr-  
 idine as p38 kinase inhibitors and therapeutic agents)  
 RN 668990-79-2 USPTAFULL  
 CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-  
 oxazolyl]- (9CI) (CA INDEX NAME)

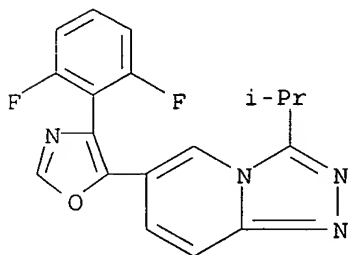


IT **668981-03-1P**, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazolo[4,3-a]pyridine **668981-04-2P**,  
 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-  
 a]pyridine hydrochloride **668981-05-3P**, 6-[4-(2,5-  
 Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine  
 methanesulfonate **668981-06-4P**, 6-[4-(2,5-Difluorophenyl)oxazol-  
 5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate  
**668981-07-5P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazolo[4,3-a]pyridine sulfate **668990-77-0P**,  
 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-  
 a]pyridine **668990-78-1P**, 3-tert-Butyl-6-[4-(2,4-  
 difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
**668990-83-8P**, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-  
 yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-84-9P**,  
 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-  
 [1,2,4]triazolo[4,3-a]pyridine **668990-85-0P**,  
 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-  
 [1,2,4]triazolo[4,3-a]pyridine **668990-86-1P**,  
 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-  
 a]pyridine **668990-87-2P**, 3-Isopropyl-6-[4-(2,4,5-  
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine  
**668990-90-7P**, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5-  
 yl]-[1,2,4]triazolo[4,3-a]pyridine **668990-91-8P**,  
 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-  
 a]pyridine **668990-92-9P**, 3-Isopropyl-6-[4-(2,4,6-  
 trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine

668990-93-0P, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-94-1P, 3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-95-2P, 3-Cyclopropyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-96-3P, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

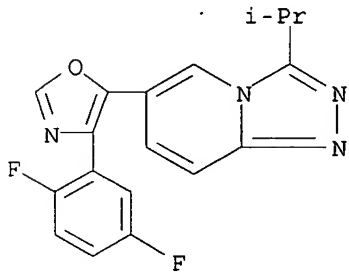
RN 668981-03-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

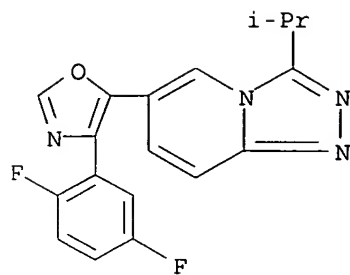
CM 1

CRN 668981-02-0

CMF C18 H14 F2 N4 O



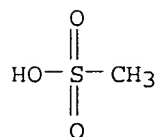
10/649,265



CM 2

CRN 75-75-2

CMF C H4 O3 S



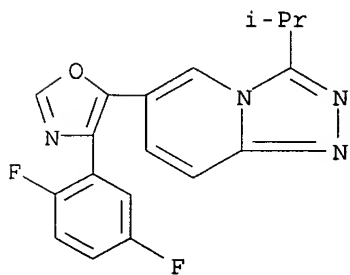
RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

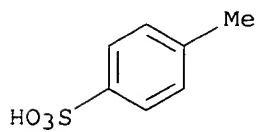
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CM 2

CRN 104-15-4

CMF C7 H8 O3 S



10/649,265

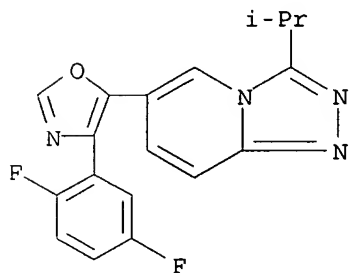
RN 668981-07-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0

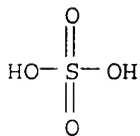
CMF C18 H14 F2 N4 O



CM 2

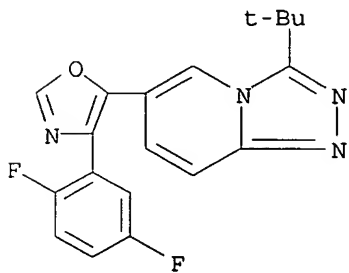
CRN 7664-93-9

CMF H2 O4 S



RN 668990-77-0 USPATFULL

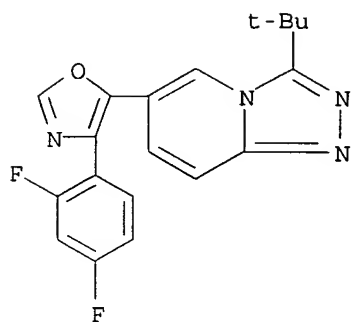
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



RN 668990-78-1 USPATFULL

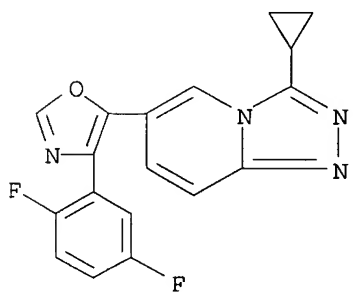
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

10/649,265



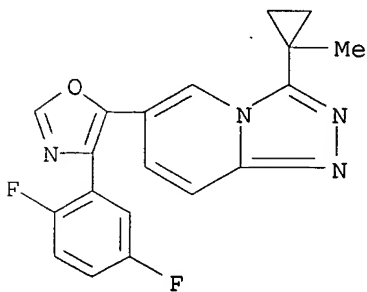
RN 668990-83-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-84-9 USPATFULL

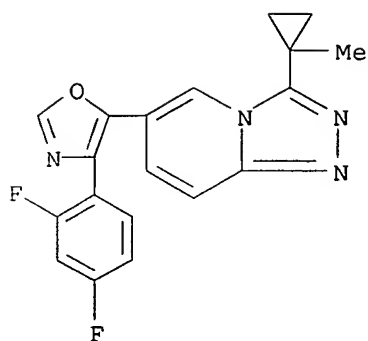
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)



RN 668990-85-0 USPATFULL

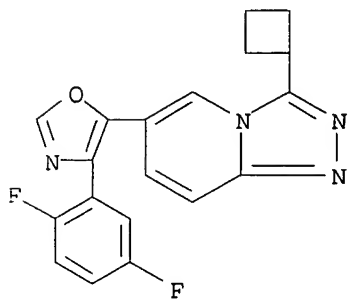
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

10/649,265



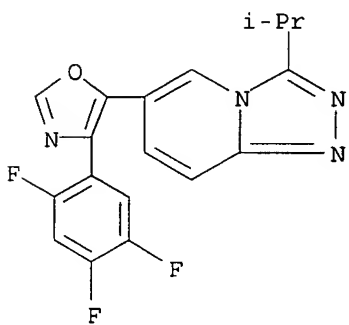
RN 668990-86-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-87-2 USPATFULL

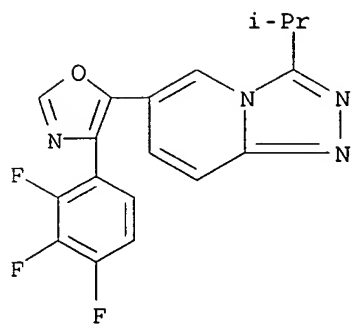
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-90-7 USPATFULL

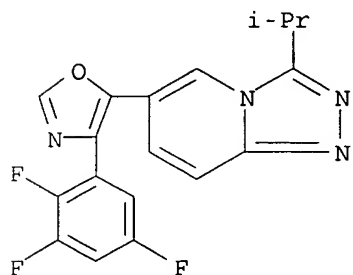
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



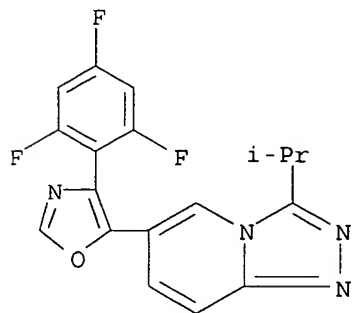
RN 668990-91-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl] - (9CI) (CA INDEX NAME)



RN 668990-92-9 USPATFULL

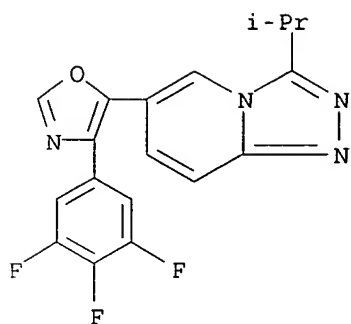
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl] - (9CI) (CA INDEX NAME)



RN 668990-93-0 USPATFULL

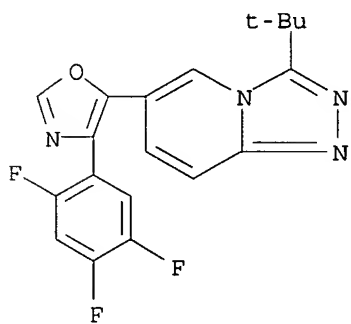
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl] - (9CI) (CA INDEX NAME)

10/649,265



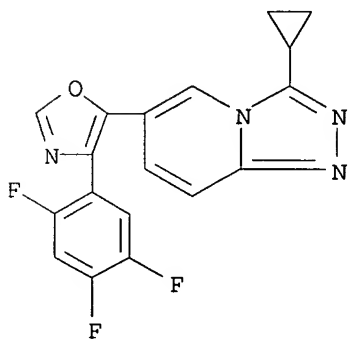
RN 668990-94-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-95-2 USPATFULL

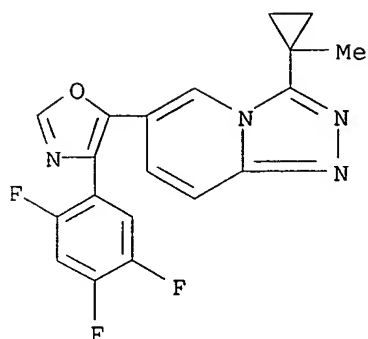
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 668990-96-3 USPATFULL

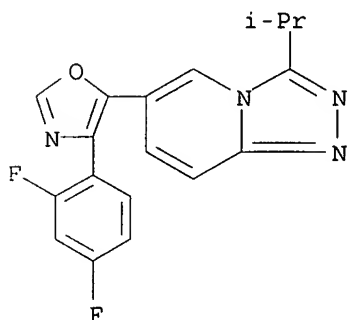
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



RN 668990-97-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:140996 USPATFULL

TITLE: Novel triazolo-pyridines anti-inflammatory compounds

INVENTOR(S): McClure, Kim F., Mystic, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

Dombroski, Mark A., Waterford, CT, UNITED STATES

Duplantier, Allen J., Ledyard, CT, UNITED STATES

Laird, Ellen R., Longmont, CO, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003096838	A1	20030522
	US 6696464	B2	20040224
APPLICATION INFO.:	US 2002-94760	A1	20020311 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-274840P	20010309 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612	
NUMBER OF CLAIMS:	57	
EXEMPLARY CLAIM:	1	
LINE COUNT:	5372	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula

I ##STR1##

wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen;

R.sup.2 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

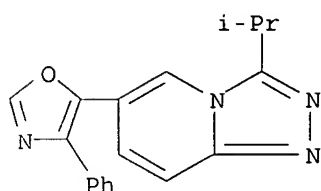
IT 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-64-4P, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-66-6P, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-67-7P, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-69-9P, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-71-3P, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-72-4P, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine 459447-73-5P, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-74-6P, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-75-7P, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-76-8P, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-77-9P, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-78-0P, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-79-1P, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-80-4P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-82-6P, 3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-83-7P, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine 459447-84-8P, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-88-2P, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-89-3P, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester 459447-90-6P, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine 459447-91-7P, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine 459447-92-8P 459447-93-9P, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine 459447-94-0P, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine 459447-95-1P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine 459447-96-2P, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-



yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**,  
 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]  
 pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-  
 fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine  
**459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-  
 [1,2,4]triazol[4,3-a]pyridine **459448-01-2P**,  
 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-  
 a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-  
 phenyl-[1,2,4]triazol[4,3-a]pyridine  
 (anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridin  
 es as anti-inflammatory agents)

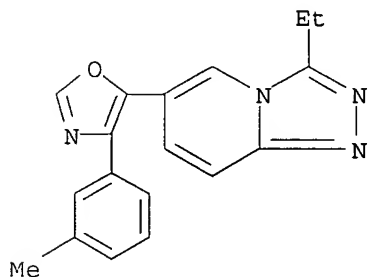
RN 459447-61-1 USPTAFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-  
 (9CI) (CA INDEX NAME)



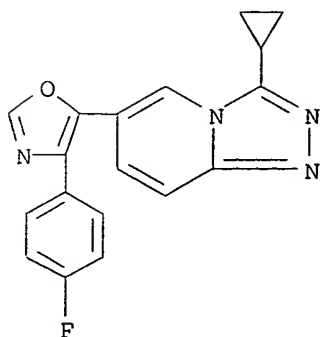
RN 459447-64-4 USPTAFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]-  
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RN 459447-66-6 USPTAFULL

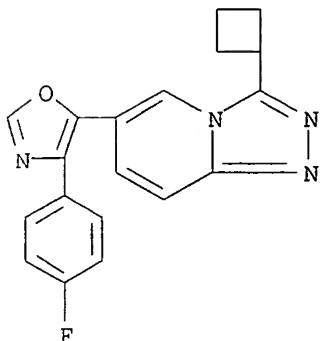
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-  
 oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-67-7 USPTAFULL

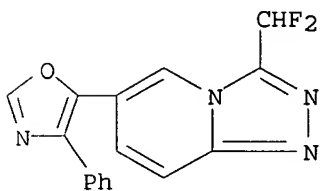
10/649,265

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



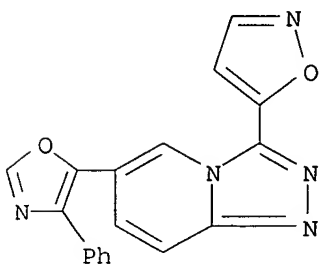
RN 459447-69-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



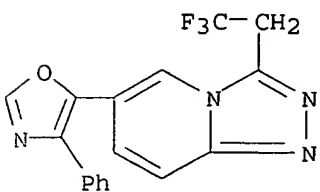
RN 459447-71-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-72-4 USPATFULL

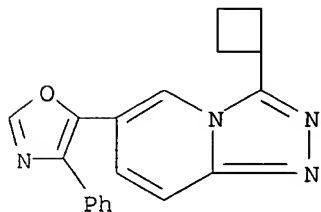
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



10/649,265

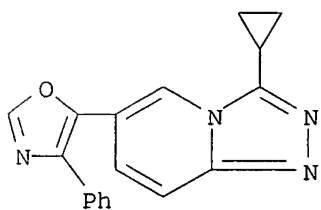
RN 459447-73-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl) - (9CI)  
(CA INDEX NAME)



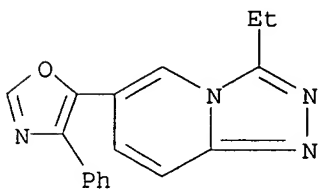
RN 459447-74-6 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl) -  
(9CI) (CA INDEX NAME)



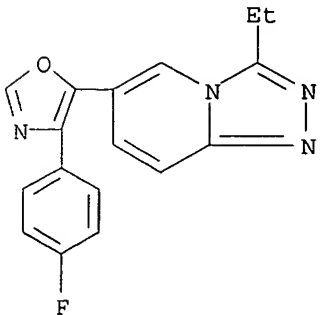
RN 459447-75-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl) - (9CI) (CA  
INDEX NAME)



RN 459447-76-8 USPATFULL

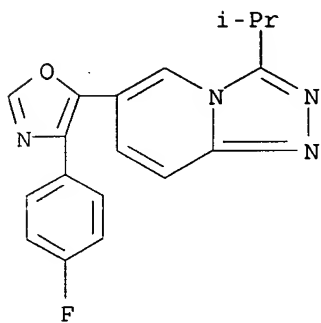
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl] -  
(9CI) (CA INDEX NAME)



RN 459447-77-9 USPATFULL

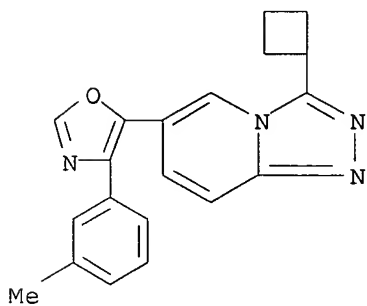
10/649,265

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



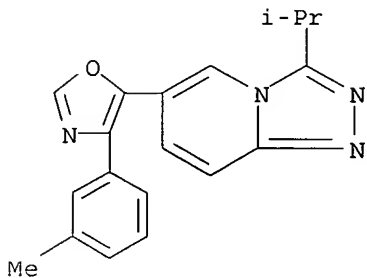
RN 459447-78-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-79-1 USPATFULL

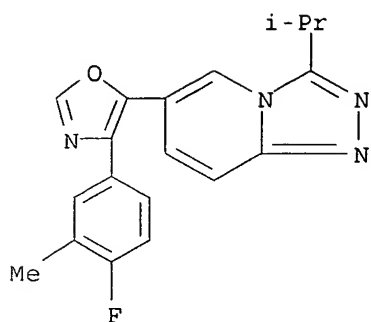
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-80-4 USPATFULL

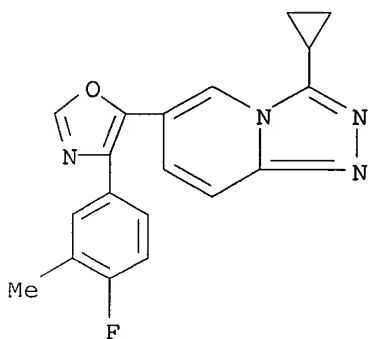
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



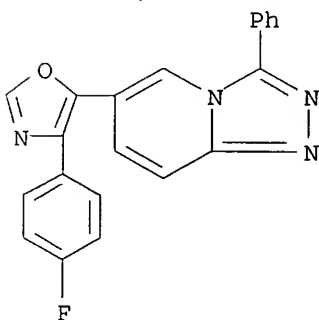
RN 459447-82-6 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-83-7 USPATFULL

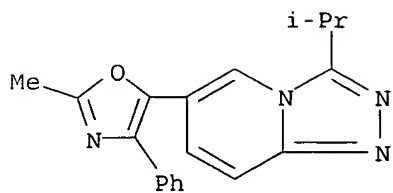
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459447-84-8 USPATFULL

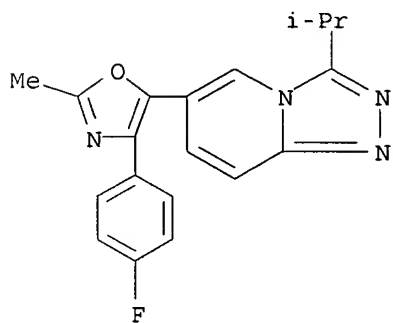
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

10/649,265



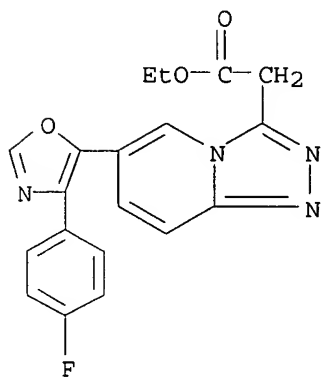
RN 459447-88-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-89-3 USPATFULL

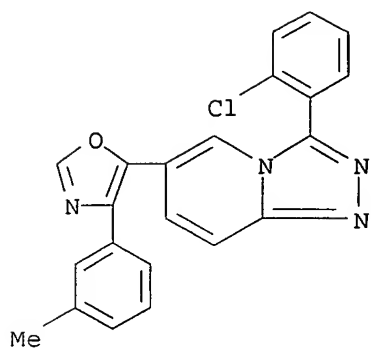
CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 459447-90-6 USPATFULL

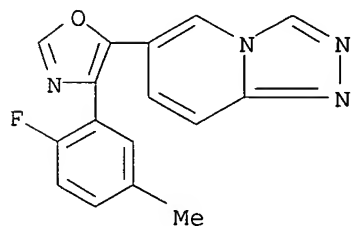
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



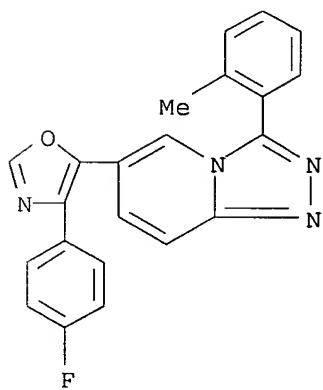
RN 459447-91-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]-  
(9CI) (CA INDEX NAME)



RN 459447-92-8 USPATFULL

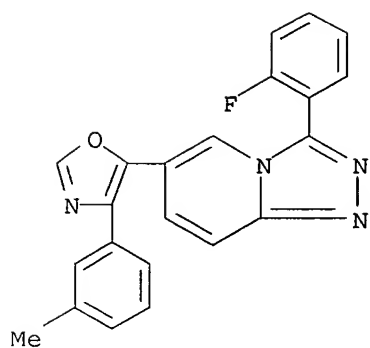
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 459447-93-9 USPATFULL

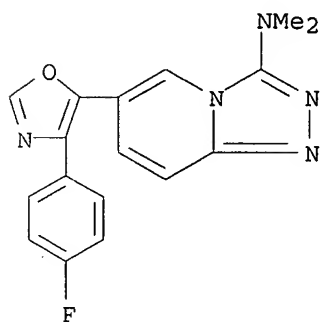
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



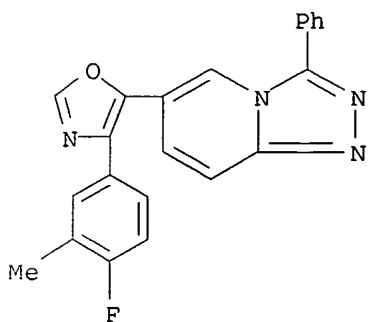
RN 459447-94-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)



RN 459447-95-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

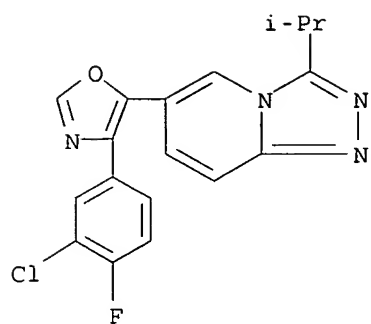


RN 459447-96-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

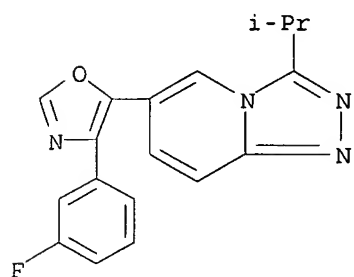


10/649,265



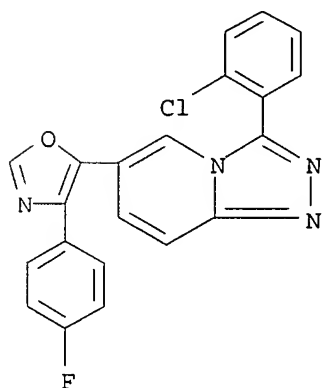
RN 459447-97-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-98-4 USPATFULL

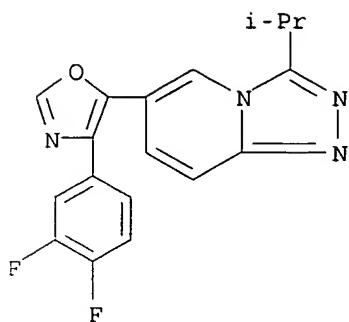
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459448-00-1 USPATFULL

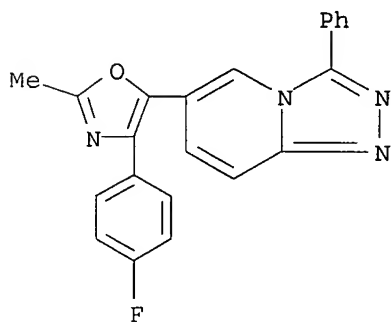
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



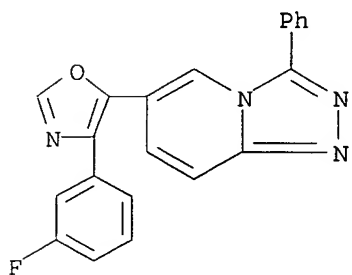
RN 459448-01-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459448-02-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 9 USPAT2 on STN

ACCESSION NUMBER: 2003:140996 USPAT2

TITLE: Triazolo-pyridines anti-inflammatory compounds

INVENTOR(S): McClure, Kim F., Mystic, CT, United States

Letavic, Michael A., Mystic, CT, United States

Dombroski, Mark A., Waterford, CT, United States

Duplantier, Allen J., Ledyard, CT, United States

Laird, Ellen R., Longmont, CO, United States

PATENT ASSIGNEE(S): Pfizer Inc, New York, NY, United States (U.S. corporation)

NUMBER

KIND

DATE

PATENT INFORMATION: US 6696464 B2 20040224  
 APPLICATION INFO.: US 2002-94760 20020311 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-274840P	20010309 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Seaman, D. Margaret	
LEGAL REPRESENTATIVE:	Richardson, Peter C., Butterfield, Garth	
NUMBER OF CLAIMS:	53	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	1 Drawing Figure(s); 1 Drawing Page(s)	
LINE COUNT:	5301	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula  
 I ##STR1##

wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen;

R.sup.2 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

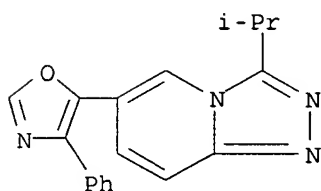
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **459447-61-1P**, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-64-4P**, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-66-6P**, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-67-7P**, 3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-69-9P**, 3-Difluoromethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-71-3P**, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-72-4P**, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine **459447-73-5P**, 3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-74-6P**, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-75-7P**, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-76-8P**, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-77-9P**, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-78-0P**, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-79-1P**, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-80-4P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-82-6P**,

3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine **459447-83-7P**,  
 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine **459447-84-8P**, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine **459447-88-2P**,  
 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine **459447-89-3P**,  
 [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester **459447-90-6P**,  
 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-91-7P**, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-92-8P**  
**459447-93-9P**, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459447-94-0P**,  
 [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-yl]dimethylamine **459447-95-1P**, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459447-96-2P**, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-97-3P**,  
 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459447-98-4P**, 3-(2-Chlorophenyl)-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine **459448-00-1P**, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine **459448-01-2P**,  
 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine **459448-02-3P**, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine  
 (anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridines as anti-inflammatory agents)

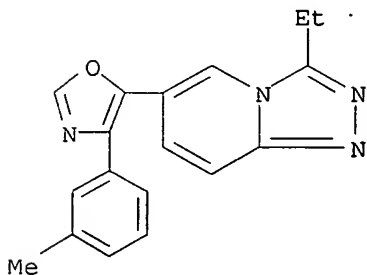
RN 459447-61-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)



RN 459447-64-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)

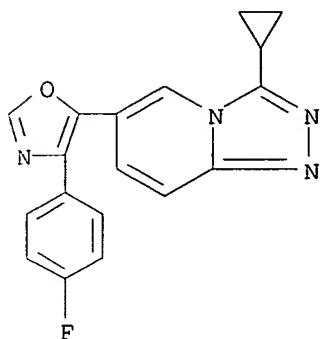


RN 459447-66-6 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-

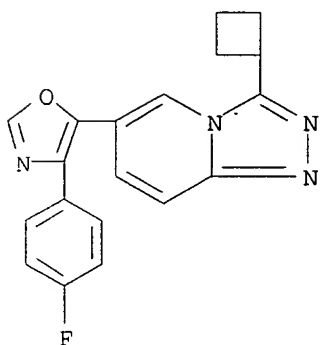
10/649,265

oxazolyl]- (9CI) (CA INDEX NAME)



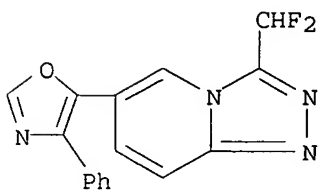
RN 459447-67-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-69-9 USPAT2

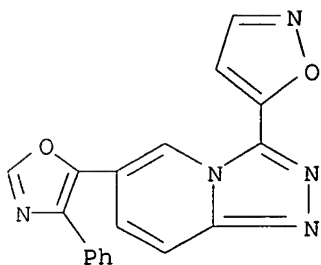
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-71-3 USPAT2

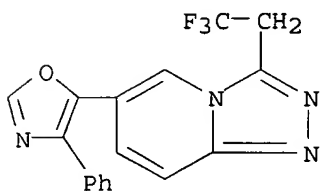
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

10/649,265



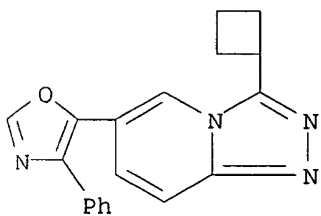
RN 459447-72-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



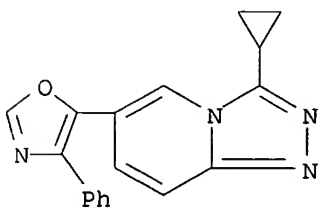
RN 459447-73-5 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-74-6 USPAT2

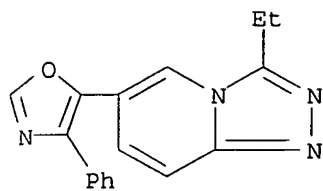
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)



RN 459447-75-7 USPAT2

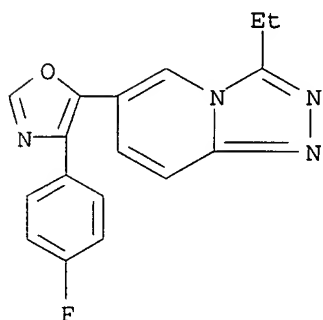
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

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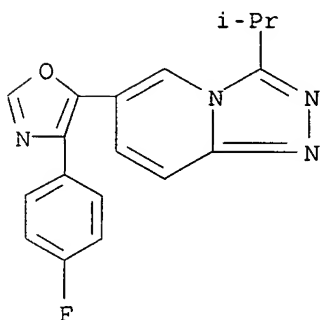
RN 459447-76-8 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]-  
(9CI) (CA INDEX NAME)



RN 459447-77-9 USPAT2

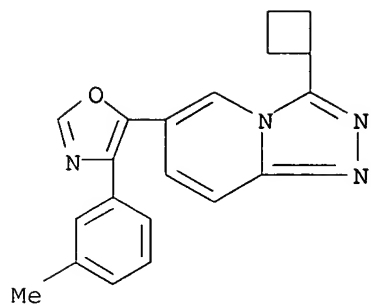
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-78-0 USPAT2

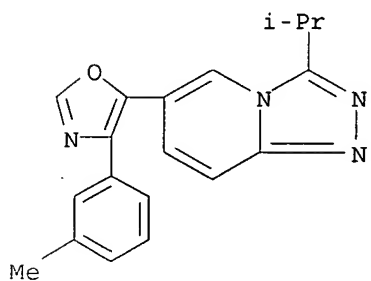
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



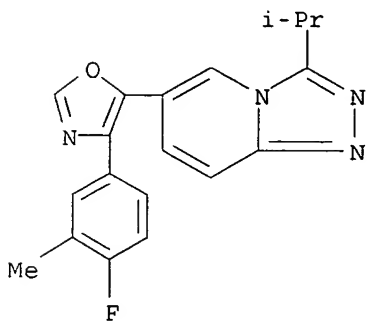
RN 459447-79-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-80-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

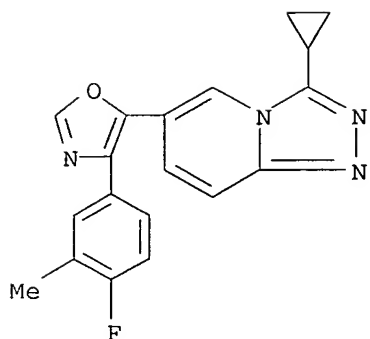


RN 459447-82-6 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

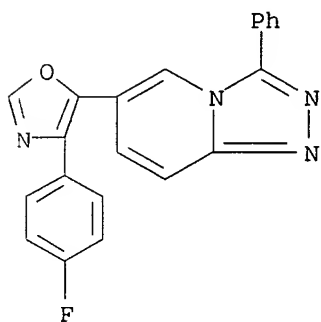


10/649,265



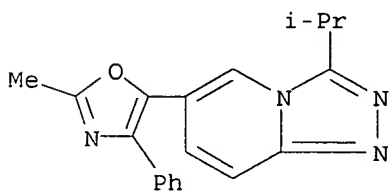
RN 459447-83-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



RN 459447-84-8 USPAT2

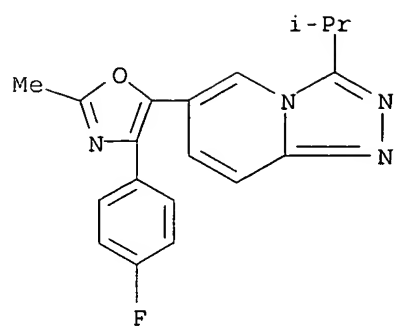
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)-  
(9CI) (CA INDEX NAME)



RN 459447-88-2 USPAT2

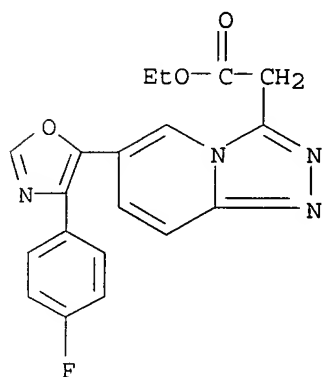
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-  
3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



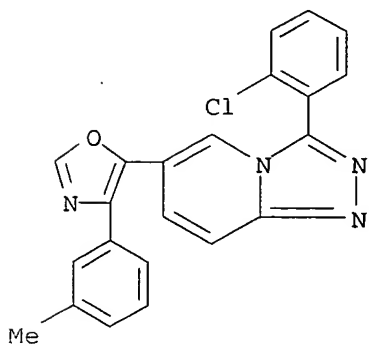
RN 459447-89-3 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 459447-90-6 USPAT2

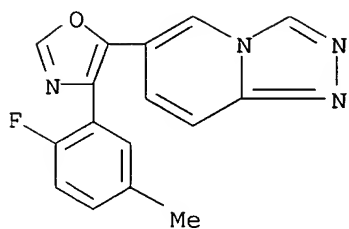
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-91-7 USPAT2

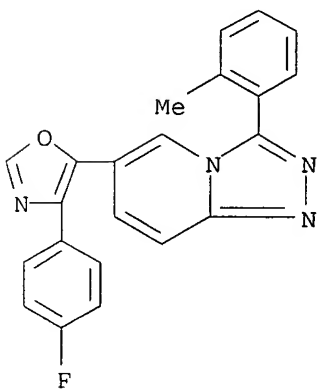
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

10/649,265



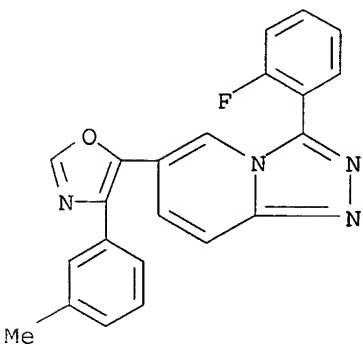
RN 459447-92-8 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)



RN 459447-93-9 USPAT2

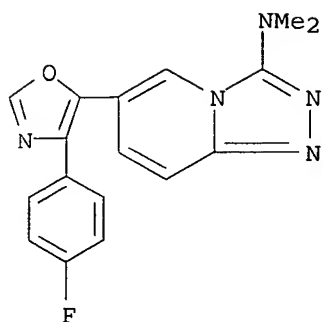
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459447-94-0 USPAT2

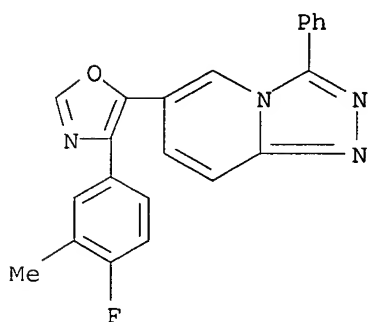
CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

10/649,265



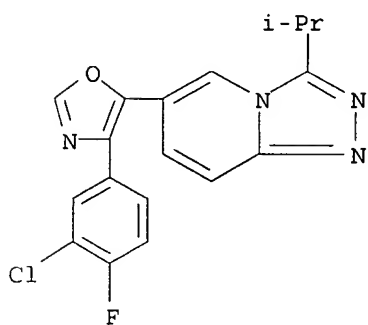
RN 459447-95-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)



RN 459447-96-2 USPAT2

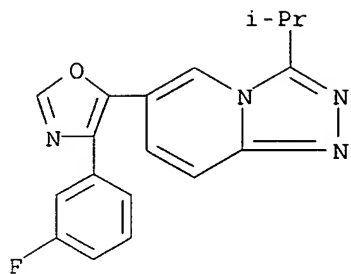
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459447-97-3 USPAT2

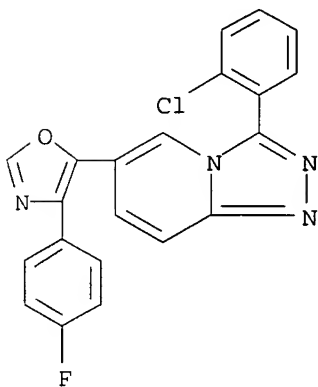
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265



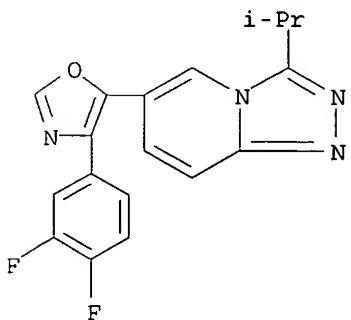
RN 459447-98-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)



RN 459448-00-1 USPAT2

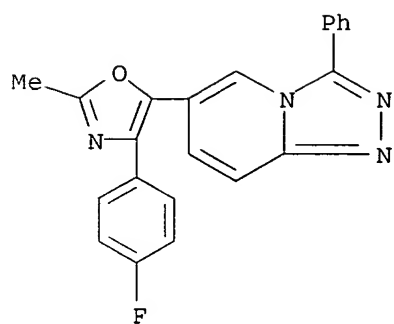
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 459448-01-2 USPAT2

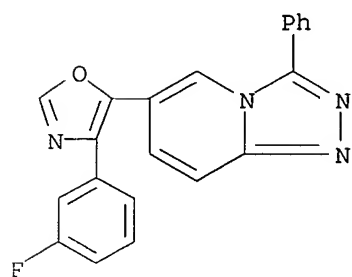
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

10/649,265



RN 459448-02-3 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl-  
(9CI) (CA INDEX NAME)



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